Access DB# 16402

SEARCH REQUEST FORM

Scientific and Technical Information Center

Pequester's Full Name: Hong Lin	Examiner #: 770 / Date:	174/02	4.7
Requester 5 Tuni	Social Number: 09/669)	75	
Art Unit: 1624 Phone Number 30 6 5874 Mail Box and Bldg/Room Location: 4601 Result	ts Format Preferred (circle): PAPER	DISK E-MAIL	
Man Box and Didg Room 200			
If mor than on search is submitted, please prioritize	e searches in order of need.	******	
Please provide a detailed statement of the search topic, and describe a Include the elected species or structures, keywords, synonyms, acronyms, a	s specifically as possible the subject matter to make the subject matter to make and registry numbers, and combine with	h the concept or	
Include the elected species or structures, keywords, synonyms, across	aning. Give examples or relevant citations,	authors, etc, if	
known. Please attach a copy of the cover sneet, pertinent claims, and			
Title of Invention: Hy Na/gnoton as Inventors (please provide full names): Ah mad 5	whomae inhibs		
Title of invention. Ab mad S	wn. 5 o'Neil, 5	NGU, K	·
Atwal K Weinstein D		·	
Earliest Priority Filing Date:		our) along with the	
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numb	ers) along with the	
appropriate serial number.		****	
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	STIC CM1 6A05 308-4291		
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Vendors and cost where applicable Type of Search NA Sequence (#) Dialog AA Sequence (#) Searcher Phone #: Structure (#) Searcher Location: Bibliographic Date Searcher Picked Up: Litigation Date Completed: 50 Fulltext Searcher Prep & Review Time: Patent Family Clerical Prep Time: 44 Other Online Time: _ PTO-1590 (8-01)

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=> fil reg; d stat que 125; fil capl; d que nos 126; fil uspatf; d que nos 127 FILE 'REGISTRY' ENTERED AT 12:34:07 ON 25 SEP 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 SEP 2002 HIGHEST RN 454421-17-1 DICTIONARY FILE UPDATES: 23 SEP 2002 HIGHEST RN 454421-17-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

full file seach search done on this structure

VPA 8-2/3/4 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC I NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L12 391526 SEA FILE=REGISTRY ABB=ON 46.156.1/RID AND NR>2

L15 2879 SEA FILE=REGISTRY SUB=L12 SSS FUL L8

L16 STR

subset search done looking for any of the following & structures

Liu

VAR G1=15/16/17/9/10/14/13/18/24/28/29/30/31/32/35/36/37/38

VPA 8-2/3/4 U

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

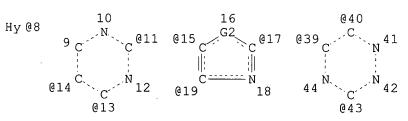
DEFAULT ECLEVEL IS LIMITED

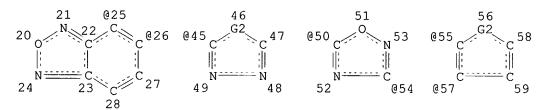
GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 43

STEREO ATTRIBUTES: NONE L17





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VAR G2=O/S

VPA 8-2/3/4 U NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

NUMBER OF NODES IS 49

STEREO ATTRIBUTES: NONE

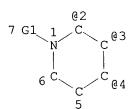
L18

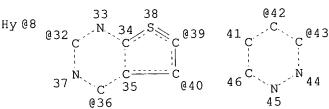
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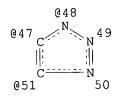
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GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE L19 STR







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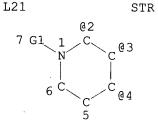
GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 28

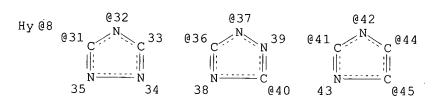
STEREO ATTRIBUTES: NONE L20 STR

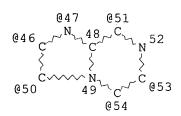
VAR G1=9/13/12/14/18/20/21/22/23/27/29/30/10/15 VPA 8-2/3/4 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC I NUMBER OF NODES IS 30

STEREO ATTRIBUTES: NONE







VAR G1=31/32/36/37/40/41/42/44/45/46/50/51/53/54/47 VPA 8-2/3/4 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC I

STEREO ATTRIBUTES: NONE L23 STR

"AND"-ed in this structure

VPA 8-2/3/4 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT IS UNS AT 8 - heterocyale at node 8 is unsaturated
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE L25 368 SEA FILE=REGISTRY SUB=L15 SSS FUL (((L16 OR L17 OR L18 OR L19 OR L20 OR L20)) AND L23)

100.0% PROCESSED 2877 ITERATIONS SEARCH TIME: 00.00.10

368 ANSWERS

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FILE COVERS 1907 - 25 Sep 2002 VOL 137 ISS 13 FILE LAST UPDATED: 24 Sep 2002 (20020924/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

```
L18 STR
L19 STR
L20 STR
L21 STR
L21 STR
L23 STR
L25 368 SEA FILE=REGISTRY SUB=L15 SSS FUL (((L16 OR L17 OR L18 OR L19 OR L20 OR L21)) AND L23)
L26 25 SEA FILE=CAPLUS ABB=ON L25
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FILE 'USPATFULL' ENTERED AT 12:34:08 ON 25 SEP 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 24 Sep 2002 (20020924/PD) FILE LAST UPDATED: 24 Sep 2002 (20020924/ED) HIGHEST GRANTED PATENT NUMBER: US6457178 HIGHEST APPLICATION PUBLICATION NUMBER: US2002133863 CA INDEXING IS CURRENT THROUGH 24 Sep 2002 (20020924/UPCA) ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 24 Sep 2002 (20020924/PD) REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2002 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2002

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USPAT2 is now available. USPATFULL contains full text of the
>>>
     original, i.e., the earliest published granted patents or
                                                                        <<<
>>>
     applications. USPAT2 contains full text of the latest US
>>>
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     publications, starting in 2001, for the inventions covered in
                                                                        <<<
>>>
     USPATFULL. A USPATFULL record contains not only the original
                                                                        <<<
>>>
     published document but also a list of any subsequent
                                                                        <<<
>>>
     publications. The publication number, patent kind code, and
                                                                        <<<
>>>
     publication date for all the US publications for an invention
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     are displayed in the PI (Patent Information) field of USPATFULL
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     records and may be searched in standard search fields, e.g., /PN, <<<
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     /PK, etc.
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    USPATFULL and USPAT2 can be accessed and searched together
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    through the new cluster USPATALL. Type FILE USPATALL to
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     enter this cluster.
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    Use USPATALL when searching terms such as patent assignees,
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    classifications, or claims, that may potentially change from
                                                                        <<<
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   the earliest to the latest publication.
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L8
                 STR
         391526 SEA FILE=REGISTRY ABB=ON 46.156.1/RID AND NR>2
L12.
L15
           2879 SEA FILE=REGISTRY SUB=L12 SSS FUL L8
L16
                 STR
L17
                 STR
L18
                 STR
L19
                 STR
L20
                 STR
L21
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OR L20 OR L21)) AND L23)

11 SEA FILE-USPATFULL ABB=ON L25

L27

^{=&}gt; dup rem 126,127

Page 7

FILE 'CAPLUS' ENTERED AT 12:34:13 ON 25 SEP 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 12:34:13 ON 25 SEP 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS) PROCESSING COMPLETED FOR L26 PROCESSING COMPLETED FOR L27 36 DUP REM L26 L27 (O DUPLICATES REMOVED) L29

ANSWERS '1-25' FROM FILE CAPLUS ANSWERS '26-36' FROM FILE USPATFULL

=> d ibib abs hitstr 129 1-36; fil cao; d que nos 128; fil hom

2002 ACS

2002:637671 CAPLUS ACCESSION NUMBER:

137:185496 DOCUMENT NUMBER:

Preparation of piperidinyl benzopyridazine derivatives TITLE:

as PDE4 inhibitors for treatment of airway disorders

Hatzelmann, Armin; Bundschuh, Daniela; Kley, INVENTOR(S):

Hans-peter; Timmerman, Hendrik; Christiaans, Johannes

A. M.; Grundler, Gerhard; Gutterer, Beate; Sterk,

Geert Jan

Byk Gulden Lomberg Chemische Fabrik Gmbh, Germany PATENT ASSIGNEE(S):

PCT Int. Appl., 41 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	KIND DATE				A)	PPLI	CATI	Э.	DATE								
			-														
WO							2 WO 2002-EP1547 20020214										
	W:	ΑE,	AL,	AU,	BA,	BG,	BR,	CA,	CN,	CO,	CU,	CZ,	DZ,	EC,	EE,	GE,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KR,	LT,	LV,	MA,	MK,	MX,	NO,	NZ,	PH,	PL,
		RO,	SG,	SI,	SK,	TN,	UA,	US,	VN,	YU,	ZA,	ZW,	ΑM,	ΑZ,	BY,	KG,	ΚZ,
			RU,														
	RW:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,
		PT,	SE,	TR													
PRIORITY GI	APP	LN.	INFO	. :					EP 2	001-	1034	96	A	2001	0215		

$$R^4$$
 $N-N$
 R^3
 R^2
 R^1
 R^4

AΒ Piperidinyl benzopyridazine derivs. [I; wherein R1 and R2 = H, or together form an addnl. bond; R3 = substituted benzene, benzopyran deriv.; R4 = (C1-C4) alkoxy, optionally substituted with fluorine] were prepd. Thus, to a soln. of (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8atetrahydro-2H-phthalazin-1-one hydrochloride (synthetic prepn. given) and p-TsCl in pyridine is stirred to give (4aS,8aR)-4-(3,4-diethoxyphenyl)-2-[1-(toluene-4-sulfonyl)-piperidin-4-yl]-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one. The prepd. compds. are effective PDE4 inhibitors useful in the treatment of airway disorders.

IT 449760-30-9P

> RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of piperidinyl benzopyridazine derivs. as PDE4 inhibitors for treatment of airway disorders)

RN449760-30-9 CAPLUS

1-(2H)-Phthalazinone, 4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-2-[1-(2-mathemathem)]CN pyrimidinyl)-4-piperidinyl]-, (4aS,8aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 36 CAPLUS COPYRIGHT 2002 ACS 2002:449449 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

137:33318

Preparation of pyrimidinylaminothiazoles as tyrosine kinase inhibitors.

INVENTOR(S):

Bilodeau, Mark T.; Hartman, George D.; Hoffman, Jacob M., Jr.; Lumma, William C., Jr.; Manley, Peter J.;

Rodman, Leonard; Sisko, John T.; Smith, Anthony M.;

Tucker, Thomas J.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

OTHER SOURCE(S):

GT

Title compds. [I; A, B = N, NO; Y = O, S, NR4; R1, R2 = H, AΒ perfluoroalkoxy, OH, cyano, halo, (substituted) alkyl(oxy)(carbonyl), aryl(oxy)(carbonyl), heterocyclyl, etc.; R4 = H, aryl, alkyl; R5 = H, SO2Rc, CORc, Rc, CO2Rc; R6 = aryl, cyano, halo, (substituted) alkyl, alkenyl, alkynyl, heterocyclyl, aminocarbonyl; Rc = alkyl, aryl, heterocyclyl], were prepd. for treating angiogenesis, cancer, tumor growth, atherosclerosis, age related macular degeneration, diabetic retinopathy, inflammation, etc. Thus, 4-aminopyrimidine was stirred with NaH in THF; 2-bromo-5-phenylthiazole was added and the mixt. was refluxed overnight to give 5-phenylthiazol-2-yl pyrimidin-4-yl amine. I inhibited vascular endothelial growth factor-stimulated mitogenesis of human vascular endothelial cells with IC50 = 0.01-5.0 nM.

ΙT 436851-36-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrimidinylaminothiazoles as tyrosine kinase inhibitors)

RN 436851-36-4 CAPLUS

5-Thiazolecarbonitrile, 2-[[6-[4-(hexahydro-5-oxo-1H-1,4-diazepin-1-yl)-1piperidinyl]-4-pyrimidinyl]amino]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CN

436851-35-3 CRN CMF C18 H22 N8 O S

*** FRAGMENT DIAGRAM IS INCOMPLETE ***

CM2

CRN 76-05-1 C2 H F3 O2 CMF

ANSWER 3 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:293615 CAPLUS

DOCUMENT NUMBER:

136:325559

TITLE:

GΙ

Preparation of nitrogenous five-membered ring

compounds such as (S)-N-[N-cyclohexyl or

N-(4-piperidinyl)glycyl]pyrrolidine-2-carbonitrile derivatives as dipeptidyl peptidase IV inhibitors Yasuda, Kosuke; Morimoto, Hiroshi; Kawanami, Saburo; Hikota, Masataka; Matsumoto, Takeshi; Arakawa, Kenji

INVENTOR(S):

Tanabe Seiyaku Co., Ltd., Japan

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 117 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	KIND DATE				A	PPLI	CATI	ON NO	ο.	DATE							
		-		- -				-									
WO 200																	
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													LC,				
													SI,				
													тJ,		•	•	
RW	: GH,																
	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
AU 200	10941	96	A!	5	2002	0422		Α	U 20	01-9	4196		2001	1005			
PRIORITY AP	PLN.	INFO	. :					JP 2	000-	3085	28	A	2000	1006			
								JP 2	00 0 -:	3125	62	A	2000	1012			
								JP 2	001-	9925	1	Α	2001	0330			
							1	WO 2	001-	JP88	02	W	2001	1005			
OTHER SOURC	E(S):			MAR	PAT	136:	3255	59									

$$R^2 - X - B$$

$$\begin{array}{c}
R^1 \\
\text{NHCH}_2\text{CO} - N \\
\end{array}$$

AΒ Aliph. nitrogenous five-membered ring compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein A is CH2 or S; B is CH or N; R1 is H, lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl; X

is a single bond, CO, -Alk-CO-, -COCH2-, -Alk-O-, -O-CH2-, SO2, S, CO2, -CON(R3)-, -Alk-CON(R3)-, -CON(R3)CH2-, -Alk-CON(R3)CH2-, -COCH2N(R3)-, -SO2NR3-, or NHCH2; R3 is H or lower alkyl; Alk is lower alkylene; and R2 is (1) an optionally substituted mono or bicyclic hydrocarbyl or heterocyclyl, (2) amino substituted by 1- 2 of optionally substituted lower alkyl, or (3) lower alkyl, carboxy-lower alkyl, lower alkoxy, lower alkenyl, lower alkoxy-lower alkyl, PhO, phenoxy-lower alkyl, or phenyl-lower alkenyl with the proviso that when X is CO, B is N; or when X is a single bond, R2 is selected from groups listed in (1) and (2)] are These compds. are useful as dipeptidyl peptidase IV inhibitors for the prevention or treatment of diabetes, in particular type II diabetes (no data). Thus, a soln. of 100 mg (S)-1-bromoacetyl-2-cyanopyrrolidine and 247 mg 4-amino-1-(2-pyrimidinyl)piperidine in MeOH/MeCN was stirred at room temp. for 15 h to give, after treatment with 2 N HCl/Et2O, (S)-2-cyano-1-[[[1-(2-pyrimidinyl)piperidin-4-yl]amino]acetyl]pyrrolidine dihydrochloride.

IT 412357-33-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of nitrogenous five-membered ring compds. such as (S)-N-glycylpyrrolidinecarbonitrile derivs. as dipeptidyl peptidase IV inhibitors for prevention or treatment of diabetes, in particular type II diabetes)

RN 412357-33-6 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

\$\infty\$29, ANSWER 4 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2002:31429 CAPLUS

DOCUMENT NUMBER:

136:102394

TITLE:

Aryl phenylheterocyclyl sulfide derivatives and their use as cell adhesion-inhibiting anti-inflammatory and

immune-suppressive agents

INVENTOR(S):

Wang, Gary T.; Wang, Sheldon; Gentles, Robert

PATENT ASSIGNEE(S):

Abbott Lab., USA

PCT Int. Appl., 135 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND						DATE			A	PPLI	CATI	ON N	DATE					
									_									
WO 2002002539 A1				1	2002	0110		W	0 20	01-U	S201	28	20010622					
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		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JΡ,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	ΤZ,	UA,	UG,	UZ,	
		VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 2001068718 A5 20020114 AU 2001-68718 20010622
PRIORITY APPLN. INFO.: US 2000-606717 A 20000629

WO 2001-US20128 W 20010622

OTHER SOURCE(S): MARPAT 136:102394

GI

AB Title compds. were prepd. for treating inflammatory and immune diseases, such as arthritis, asthma, reperfusion injury, inflammatory bowel disease etc. The products had IC50 <20 mM for inhibition of ICAM-1 binding to LFA-1. 2-Me2CHC6H4SHwas etherified with 4,3-F(F3C)C6H3COMe, followed by bromination, and reaction with 1-carbamoylpiperidine to give the sulfide I.

IT 388117-78-0P 388117-79-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl phenylheterocyclyl sulfides as cell adhesion-inhibiting antiinflammatory and immunosuppressive agents)

RN 388117-78-0 CAPLUS

CN Pyrimidine, 4-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-6-[3-(1H-tetrazol-5-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 388117-79-1 CAPLUS

CN Pyrimidine, 4-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-6-[4-(1H-tetrazol-5-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Page 13

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 36 CAPLUS COPYRIGHT 2002 ACS 2001:816647 CAPLUS **ÁCCESSION NUMBER:**

135:357948 DOCUMENT NUMBER:

Preparation of heterocyclic compounds as TITLE:

phosphodiesterase V (PDE V) inhibitors

Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; INVENTOR(S):

Kikkawa, Kohei

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.					ND	D DATE			A	PPLI	CATI	ON NO	ο.	DATE				
WO	2001	0834	60	A1 20011108				W	20	01-J	P203	- - 4						
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	ΕE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	
		HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
		YU,	ZA,	ZW,	ΑM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM					
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
AU	5	2001	1112		A	U 20	01-4	1142		20010315								
PRIORITY APPLN. INFO.:									JP 2	000-	1303	71	Α	2000	0428			
				1	WO 2	001-	JP20	34	W	2001	0315							
						D 7 M	1 2 5	2572	4.0									

OTHER SOURCE(S):

MARPAT 135:357948

GI

Compds. of the general formula (I) or pharmacol. acceptable salts thereof AB [wherein X is :CH or N; Y is NH, NR4, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R1 is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R2 is either a lower alkylamino or lower alkoxy group

which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous arom. heterocyclic group; and R3 is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R3 and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepd. These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or therapeutic agents for various diseases due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated wit NaH in THF at room temp. for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4methoxybenzylamino)pyrimidine (prepn. given) in THF at room temp. for 1 h to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3chloro-4-methoxybenzylamino)pyrimidine.

IT 372115-10-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)

372115-10-1 CAPLUS

5-Pyrimidinecarboxylic acid, 4-[[(3-chloro-4-methoxyphenyl)methyl]amino]-2-[4-hydroxy-4-(2-pyridinyl)-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ Eto-C & & & \\ & & & \\ CH_2-NH & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

\$\frac{1}{4}29 ANSWER 6 OF 36 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:453040 CAPLUS

DOCUMENT NUMBER:

135:61343

TITLE:

RN CN

Preparation of 1-(piperidin-4-yl)-1,4-dihydro-2H-3,1-

benzoxazin-2-ones as purinoceptor P2X7 receptor

antagonists for use in the treatment of inflammatory,

immune, or cardiovascular diseases

INVENTOR(S):

Baxter, Andrew; Kindon, Nicholas; Pairaudeau, Garry;

Roberts, Bryan; Thom, Stephen

PATENT ASSIGNEE(S):

SOURCE:

Astrazeneca AB, Swed. PCT Int. Appl., 127 pp.

CODEN: PIXXD2

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE

APPLICATION NO. DATE

Page 15

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WO 2000-SE2504
                            20010621
     WO 2001044213
                       A1
                                                             20001212
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
                                                         TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT. SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                        SE 1999-4652
                                                         A 19991217
OTHER SOURCE(S):
                         MARPAT 135:61343
GΙ
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Ι

Liu

$$\begin{array}{c|c}
R1 & & & & \\
N & & & & \\
X & & & & \\
X & & & & \\
\end{array}$$

Title compds. (I) [wherein A = (un)substituted Ph or 5- or 6-membered heterocycle; B = CO, NH, or SO2; X = CO, CH(Me), O, or (CH2)p; p = 0-1; Y = O, CH2, NH, or S; Z = CO or SO2; R = H or alkyl; R1 = H or halo; R2 = (un)substituted Ph; or a pharmaceutically acceptable salt or solvate] were prepd. purinoceptor P2X7 receptor antagonists. For example, 1-piperidin-1-yl-1,4-dihydro-2H-3,1-benzoxazin-2-one.bul.HCl, 2-(4-chloro-3-nitrobenzyl)benzoic acid, and TEA in DMF were stirred at room temp. for 72 h to give II. Each of the example compds. demonstrated antagonist activity at the P2X7 receptor with pIC50 values > 5.00. Thus, I are particularly useful for effecting immunosuppression or for treating rheumatoid arthritis (no data).

ΙI

IT 345583-10-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of piperidinylbenzoxazinones P2X7 receptor antagonists via coupling reactions for use in treatment of inflammatory, immune, or cardiovascular diseases)

RN 345583-10-0 CAPLUS

CN 5-Pyrimidinecarboxylic acid, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

IT 345582-89-0P 345583-11-1P 345583-12-2P 345583-13-3P 345583-14-4P 345583-15-5P 345583-16-6P 345583-17-7P 345583-18-8P 345583-19-9P 345583-20-2P 345583-21-3P 345583-22-4P 345583-23-5P 345583-24-6P 345583-25-7P 345583-26-8P 345583-27-9P 345583-29-1P 345583-43-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperidinylbenzoxazinones P2X7 receptor antagonists via coupling reactions for use in treatment of inflammatory, immune, or

coupling reactions for use in treatment of inflammatory, immune, or cardiovascular diseases)

RN 345582-89-0 CAPLUS

CN 3-Pyridazinecarboxamide, N-(1-methylethyl)-6-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

piperidinyl]-N-1,3,4-thiadiazol-2-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-12-2 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-y1)-1-piperidinyl]-N-1H-1,2,4-triazol-3-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-13-3 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-N-1H-pyrazol-3-yl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 345583-14-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(4-hydroxycyclohexyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 345583-15-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[1-(hydroxymethyl)propyl]-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-16-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(3-hydroxy-2,2-dimethylpropyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-17-7 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-N-[(tetrahydro-2-furanyl)methyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 345583-18-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-cyclobutyl-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-19-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-cyclopentyl-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-20-2 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[2-(1H-imidazol-4-yl)ethyl]-2-[4-(2-oxo-2H-3,1-imidazol-4-yl)ethyl]

benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 345583-21-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(1-ethynylcyclohexyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-22-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N-[(1R)-1-(hydroxymethyl)-2-methylpropyl]-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 345583-23-5 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(2-hydroxy-1,1-dimethylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-24-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(1,1-diethyl-2-propynyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-25-7 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(2-hydroxy-1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-26-8 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(2-methoxy-1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 345583-27-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 345583-29-1 CAPLUS

CN 4-Thiazolecarboxamide, N-(1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 345583-43-9 CAPLUS

CN 5-Pyrimidinecarboxamide, N-(1-methylethyl)-2-[4-(2-oxo-2H-3,1-benzoxazin-1(4H)-yl)-1-piperidinyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)

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C-NHPr-i
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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 36 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:359798 CAPLUS

DOCUMENT NUMBER:

134:366802

TITLE:

SOURCE:

Diaryl piperidyl pyrrole derivatives useful as

antiprotozoal agents

INVENTOR(S):

Biftu, Tesfaye; Feng, Danqing D.; Liang, Gui-Bai; Ponpipom, Mitree M.; Qian, Xiaoxia; Fisher, Michael

H.; Wyvratt, Matthew J.

PATENT ASSIGNEE(S):

Merck + Co., Inc., USA

PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P.	KI	ND	DATE		A	PPLI	CATI	ои ис	Э.	DATE							
W-	0 2001	0341	49	A1 20010517				W	0 20	00-U	S307	 47	2000	1109			
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		•	•	•		•	•						•	GE,		•	•
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,
		SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UΑ,	UG,	US,	UZ,	VN,	YU,
		ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	ΤM	•		•	•	•
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											-			PT,			-
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U	S 6384	052		В	1	2002	0507		U	S 20	00-7	0995	9	2000	1110		
PRIORI	TY APP	LN.	INFO	. :				1	US 1	999-	1651	42P	Ρ	1999	1112		
OTHER	SOURCE	(S):			MAR	PAT	134:	3668	02								
GI																	

$$(R)_{p} = \begin{pmatrix} N & N & N & R^{2} \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

AB Trisubstituted pyrroles I are antiprotozoal agents (no data), useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry [wherein: n = 0-1; p = 1-3; R = halo; R1 = H or alkyl; R2 = (un)substituted alk(en/yn)yl, cycloalkyl(alkyl), (hetero)aryl(alkyl); R3 = O or CH3; with 3 specific exclusions]. Approx. 100 compds. were prepd. For instance, 4-picoline was lithiated and condensed with 4-FC6H4CONMeOMe, and the resulting ketone was deprotonated and coupled with 4-(2-iodoacetyl)-1-(benzyloxycarbonyl)piperidine to give a 1,4-diketone. Cyclization of this with ammonium acetate and deprotection gave pyrrole intermediate II [R2 = H], which was reductively N-alkylated by acetaldehyde and NaBH(OAc)3 to give title compd. II [R2 = Et].

IT 339988-61-3P, 2-(4-Fluorophenyl)-5-[N-(2-pyrimidinyl)piperidin-4yl]-3-(4-pyridinyl)pyrrole 339988-63-5P, 2-(4-Fluorophenyl)-5-[N(2-thiazolyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole
RL: AGR (Agricultural use); BAC (Biological activity or effector, except
adverse); BSU (Biological study, unclassified); FFD (Food or feed use);
SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of diarylpiperidylpyrrole derivs. as antiprotozoal agents)

RN 339988-61-3 CAPLUS

CN Pyrimidine, 2-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 339988-63-5 CAPLUS

CN Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(2-thiazolyl)-4-piperidinyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)

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N N N F
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REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 8 OF 36 CAPLUS COPYRIGHT 2002 ACS

4

ACCESSION NUMBER: 2001:283949 CAPLUS

DOCUMENT NUMBER: 134:311218

TITLE: Synthesis and use of heterocyclic sodium/proton

exchange inhibitors

INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,

Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PAS	PATENT NO. KIN					DATE			i									
	2001					2001			WO 2000-US27461 20001002									
	W:	AE, CR, HU,	AG, CU, ID,	AL, CZ, IL,	AM, DE, IN,	AT, DK, IS,	AU, DM, JP,	DZ, KE,	EE KG	, BB, , ES, , KP,	FI, KR,	GB, KZ,	GD, LC,	GE, LK,	GH, LR,	GM, LS,	HR, LT,	
	RW:	YU, GH, DE,	ZA, GM, DK,	ZW, KE, ES,	AM, LS, FI,	AZ, MW, FR,	BY, MZ, GB,	KG, SD, GR,	KZ SL IE	TR, MD, SZ, IT,	RU, TZ, LU,	TJ, UG, MC,	TM ZW, NL,	AT,	BE,	CH,	CY,	
EP	1224 R:	183 AT,	BE,	A: CH,	2 DE,	2002	0724 ES,	FR,	GB.	, GR,	00-9	6872	3	2000		MC,	PT,	
NO PRIORITY OTHER SO		LN.	INFO	.:				1	us : Wo :	NO 20 1999- 2000-	1587	55P	P	2002 1999 2000	1012		•	

II

AΒ Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl) 3Si, cycloalk(en) yl, (aryl) amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. intermediate tert-Bu ester is converted to the corresponding .alpha.-chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, .beta.-adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

IT 335062-12-9P 335062-43-6P 335062-57-2P RL: BAC (Biological activity or effector

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis and use of heterocyclic sodium/proton exchange inhibitors) 335062-12-9 CAPLUS

Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-nitro-2-thienyl)- (9CI) (CA INDEX NAME)

RN CN

RN 335062-43-6 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-57-2 CAPLUS
CN 1H-Imidazol-2-amine, 4-{1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$H_2N$$
 N
 Me
 N
 Me

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335063-16-6P 335063-17-7P 335063-18-8P
335063-19-9P 335063-20-2P 335063-21-3P
335063-22-4P 335063-23-5P 335063-24-6P
335063-25-7P 335063-26-8P 335063-27-9P
335063-28-0P 335063-29-1P 335063-30-4P
335063-31-5P 335063-32-6P 335063-33-7P
335063-34-8P 335063-35-9P 335063-36-0P
335063-37-1P 335063-38-2P 335063-39-3P
335063-40-6P 335063-41-7P 335063-42-8P
335063-43-9P 335063-44-0P 335063-45-1P
335063-46-2P 335063-47-3P 335063-48-4P
335063-49-5P 335063-50-8P 335063-51-9P
335063-52-0P 335063-53-1P 335063-54-2P
335063-55-3P 335063-56-4P 335063-57-5P
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335063-98-4P 335063-99-5P 335064-00-1P
335064-01-2P 335064-02-3P 335064-03-4P
335064-04-5P 335064-05-6P 335064-06-7P
335064-07-8P 335064-08-9P 335064-09-0P
335064-10-3P 335064-11-4P 335064-12-5P
335064-13-6P 335064-14-7P 335064-15-8P
335064-16-9P 335064-17-0P 335064-18-1P
335064-19-2P 335064-20-5P 335064-21-6P
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335064-25-0P 335064-26-1P 335064-27-2P
335064-28-3P 335064-29-4P 335064-30-7P
335064-31-8P 335064-32-9P 335064-33-0P
335064-34-1P 335064-35-2P 335065-05-9P
335065-06-0P 335065-07-1P 335065-08-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (synthesis and use of heterocyclic sodium/proton exchange inhibitors)
335062-07-2 CAPLUS
Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(1-phenyl-1H-tetrazol-5-yl)-
       (CA INDEX NAME)
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RN

CN

piperidinyl]- (9CI) (CA INDEX NAME)

RN 335062-10-7 CAPLUS

CN Pyridazine, 3-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenyl-(9CI) (CA INDEX NAME)

RN 335062-11-8 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(methylthio)-5-phenyl- (9CI) (CA INDEX NAME)

RN 335062-13-0 CAPLUS

CN 3-Thiophenamine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335062-26-5 CAPLUS

CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-27-6 CAPLUS

Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM1

335062-26-5 CRN CMF C17 H19 C12 N7 O

CM2 ,

CRN 76-05-1 CMF C2 H F3 O2

RN 335062-28-7 CAPLUS

RN 335062-29-8 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-30-1 CAPLUS

CN Piperidine, 1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-31-2 CAPLUS

CN Piperidine, 1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-32-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-33-4 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-34-5 CAPLUS

CN Piperidine, 1-[1-(3,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-

RN 335062-35-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(phenylmethyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-36-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(3-methylphenyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-37-8 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-38-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-37-8 CMF C17 H20 C12 N8 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335062-39-0 CAPLUS

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RN 335062-40-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-41-4 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-42-5 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-44-7 CAPLUS CN 1H-Imidazol-2-amine, 4-methyl-5-[1-(1-phenyl-1H-tetrazol-5-yl)-4piperidinyl] - (9CI) (CA INDEX NAME)

335062-46-9 CAPLUS RN CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-index older and the second of the spiperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN335062-47-0 CAPLUS CN Acetamide, N-[4-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

Page 41

RN 335062-48-1 CAPLUS

CN Acetamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-47-0 CMF C19 H23 C1 N8 O2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335062-49-2 CAPLUS

CN Acetamide, N-[4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335062-50-5 CAPLUS

CN Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335062-51-6 CAPLUS

CN Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 335062-52-7 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN--- 555062=33-4

CMF C17 H20 C1 N7 O

Liu

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335062-53-8 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-54-9 CAPLUS

CN Piperidine, 1-[1-(2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

09/669298

RN 335062-55-0 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-56-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-58-3 CAPLUS

CN Piperidine, 1-[4-bromo-1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

N. Puperroune, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

RN 335062-60-7 CAPLUS

CN Piperidine, 1-[1-(3-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-61-8 CAPLUS

CN Piperidine, 1-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-62-9 CAPLUS

CN Piperidine, 1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-63-0 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-64-1 CAPLUS

CN Piperidine, 1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-65-2 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-66-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-67-4 CAPLUS

CN Piperidine, 1-[1-(3,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-68-5 CAPLUS

CN Benzenesulfonamide, 4-[3-methyl-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

RN 335062-69-6 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-71-0 CAPLUS

CN Piperidine, 1-[1-(2-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-72-1 CAPLUS

RN 335062-73-2 CAPLUS

CN Piperidine, 1-[1-(3,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-74-3 CAPLUS

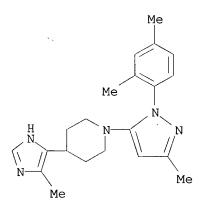
CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-75-4 CAPLUS

CN Piperidine, 1-[1-(4-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

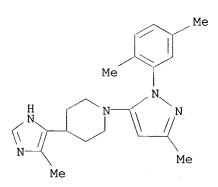
RN 335062-76-5 CAPLUS

CN Piperidine, 1-[1-(2,4-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-77-6 CAPLUS

CN Piperidine, 1-[1-(2,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



RN 335062-78-7 CAPLUS

RN 335062-79-8 CAPLUS

CN Piperidine, 1-[1-(2,5-difluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-80-1 CAPLUS

CN Piperidine, 1-[1-(2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-81-2 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN

335062-82-3 CAPLUS
Piperidine, 1-[1-[3,5-bis(trifluoromethyl)phenyl]-3-methyl-1H-pyrazol-5-CN yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-83-4 CAPLUS

Piperidine, 1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-dichlorophenyl)CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-84-5 CAPLUS

CN Piperidine, 1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-

RN 335062-85-6 CAPLUS

CN Piperidine, 1-[1-(3,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-86-7 CAPLUS

CN Piperidine, 1-[1-(5-fluoro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-87-8 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-phenyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-88-9 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-89-0 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[2-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-90-3 CAPLUS

CN Piperidine, 1-[1-(2-chloro-6-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-91-4 CAPLUS

CN Piperidine, 1-[1-(2,6-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-92-5 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-93-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-94-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-95-8 CAPLUS

CN Piperidine, 1-[1-(2-chloro-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-96-9 CAPLUS

CN

Piperidine, 1-[1-(5-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-

RN 335062-97-0 CAPLUS

CN Piperidine, 1-[1-(3-bromophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-98-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-99-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA IŅDEX NAME)

$$H_2N$$
 N
 Me
 Me

RN 335063-00-8 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-01-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-02-0 CAPLUS

CN

1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

H₂N N Me

RN 335063-03-1 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} \\ & \text{Cl} \\ & \text{N} \\ & \text{N} \\ & \text{Me} \end{array}$$

RN 335063-04-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 335063-05-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ H_2N & & & \\ N & & & \\ Me & & \\ \end{array}$$

RN 335063-06-4 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-07-5 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-08-6 CAPLUS

CN

1H-Imidazol-2-amine, 4-methyl-5-[1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-4-

RN 335063-09-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-10-0 CAPLUS

CN Piperidine, 1-[4-bromo-1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335063-11-1 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN

335063-12-2 CAPLUS Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-CN phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

. .

1 CM

CRN 335063-11-1 C19 H20 C1 N5 CMF

2 CM

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-13-3 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2,5diphenyl- (9CI) (CA INDEX NAME)

RN 335063-14-4 CAPLUS Liu

CN Pyrimidine, 5-bromo-2-chloro-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-16-6 CAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 335063-17-7 CAPLUS

CN Pyrimidine, 4-chloro-5-(3-chlorophenyl)-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-18-8 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-19-9 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 3

CRN 335063-18-8 CMF C19 H19 C1 F N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 335063-20-2 CAPLUS

Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 335063-21-3 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 335063-22-4 CAPLUS

CN Pyrimidine, 5-(2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-23-5 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

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335063-24-6 CAPLUS RN

CN Pyrimidine, 5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)

Liu

RN 335063-25-7 CAPLUS

CN Pyrimidine, 5-(3,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-26-8 CAPLUS

Pyrimidine, 5-(3-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-methyl-1-methyl-1H-imidazol-4-yl)-1-methylCN piperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-27-9 CAPLUS

Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-CN methylphenyl) - (9CI) (CA INDEX NAME)

RN 335063-28-0 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-29-1 CAPLUS

CN Pyrimidine, 5-(3-ethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-30-4 CAPLUS

CN Pyrimidine, 5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-31-5 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

Liu

RN 335063-32-6 CAPLUS

CN Pyrimidine, 5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-33-7 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-34-8 CAPLUS

CN Pyrimidine, 5-(2,3-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-35-9 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-36-0 CAPLUS

CN Pyrimidine, 5-(5-fluoro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN

335063-37-1 CAPLUS

Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methoxy-4-[4-(5-methyl-1H-CN imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

335063-38-2 CAPLUS RN

2-Pyrimidinamine, 5-(3-chloro-4-fluorophenyl)-N, N-dimethyl-4-[4-(5-methyl-CN 1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-39-3 CAPLUS

CN Morpholine, 4-[5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335063-40-6 CAPLUS

CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 335063-41-7 CAPLUS

CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335063-40-6 CMF C21 H25 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-42-8 CAPLUS

CN Pyrimidine, 4-methoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 335063-43-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenoxy-5-phenyl- (9CI) (CA INDEX NAME)

RN 335063-44-0 CAPLUS

CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335063-45-1 CAPLUS

CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 335063-44-0 CMF C23 H28 N6 O

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-46-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 335063-47-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & M & MH2 \\ \hline N & Me & Me \\ \hline \end{array}$$

RN 335063-48-4 CAPLUS

CN Acetamide, N-[4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335063-49-5 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(1,5-dimethyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-50-8 CAPLUS

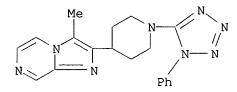
CN Imidazo[1,2-a]pyrimidine, 3-methyl-2-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-51-9 CAPLUS

CN Imidazo[1,2-a]pyridine, 3-methyl-2-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

CAPILUS CAPILUS

CN Imidazo[1,2-a]pyrazine, 3-methyl-2-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 335063-53-1 CAPLUS

CN Imidazo[2,1-b]thiazole, 5-methyl-6-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-54-2 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335063-55-3 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335063-54-2 CMF C18 H21 C1 N6

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CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 335063-56-4 CAPLUS

1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-57-5 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335063-56-4 CMF C18 H22 C1 N7

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-58-6 CAPLUS

CN Piperidine, 1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-(9CI) (CA INDEX NAME)

RN 335063-59-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

09/669298

$$\begin{array}{c|c} & \text{Me} \\ \hline \text{C1} & \text{Me} \\ \\ \text{H}_2\text{N} & \text{N} & \text{N} \\ \\ \text{N} & \text{Me} \\ \end{array}$$

RN 335063-69-9 CAPLUS

CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335063-70-2 CAPLUS

CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

.CM 1

CRN 335063-69-9 CMF C21 H25 Cl N6 O

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-71-3 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 335063-72-4 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 335063-73-5 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

RN

335063-74-6 CAPLUS Propanamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-CN piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

335063-75-7 CAPLUS RN

 $1 \\ \\ \text{H-Imidazol-2-amine, } \\ 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chlorophenyl}) - 3 - \text{methyl-1H-pyrazol-5-yl}] - 4 - [1 - [1 - (3 - \text{chl$ CN piperidinyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN335063-77-9 CAPLUS

CN Pyrimidine, 5-(2,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-78-0 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-79-1 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 335063-80-4 CAPLUS

CN Pyrimidine, 5-(1,3-benzodioxol-5-yl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-81-5 CAPLUS

CN Benzoic acid, 3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335063-82-6 CAPLUS

CN Ethanone, 1-[3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 335063-83-7 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-84-8 CAPLUS

CN Pyrimidine, 5-(2,5-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-85-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 335063-86-0 CAPLUS

CN Pyrimidine, 5-(3,4-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-87-1 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-88-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 335063-89-3 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-90-6 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-91-7 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-92-8 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-93-9 CAPLUS

CN Pyrimidine, 5-(2,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-94-0 CAPLUS

CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-95-1 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 335063-96-2 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-97-3 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-98-4 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-99-5 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

335064-00-1 CAPLUS RN

Pyrimidine, 5-(3-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-methylethoxy)-4-[4-(5-methylethoxy)-4-(5-methylethoxy)-4-[4-(5-methylethoxy)-4-[4-(5-methylethoxy)-4-[4-(5-methylethoxCN imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-01-2 CAPLUS

CNPyrimidine, 5-(4-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1Himidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

335064-02-3 CAPLUS RN

Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 335064-03-4 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-04-5 CAPLUS

CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-05-6 CAPLUS

CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 335064-06-7 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-07-8 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-08-9 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-09-0 CAPLUS

CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 335064-10-3 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 335064-11-4 CAPLUS

CN Morpholine, 4-[5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-12-5 CAPLUS

CN Morpholine, 4-[5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-13-6 CAPLUS

CN Morpholine, 4-[5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-14-7 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-15-8 CAPLUS

CN Morpholine, 4-[5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-16-9 CAPLUS

CN Morpholine, 4-[5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-17-0 CAPLUS

CN Morpholine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)

methylphenyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-18-1 CAPLUS

CN Morpholine, 4-[5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-19-2 CAPLUS

CN Morpholine, 4-[5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-20-5 CAPLUS

CN Morpholine, 4-[5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-21-6 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-22-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[5-(3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-23-8 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(2,5-dimethylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-24-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(4-fluoro-3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-25-0 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3,4-dichlorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & N & Me \\ \hline N & N & Me \\ \hline \\ C1 & C1 & \\ \end{array}$$

RN 335064-26-1 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(5-chloro-2-methoxyphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-27-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-2-methoxy-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-28-3 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-29-4 CAPLUS

2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CN

CRN 335064-28-3 CMF C21 H20 C1 F N6

CRN 76-05-1 CMF C2 H F3 O2

RN 335064-30-7 CAPLUS

CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-31-8 CAPLUS

CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 335064-32-9 CAPLUS

Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-33-0 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-32-9 CMF C20 H21 C1 F N5

CRN 76-05-1 CMF C2 H F3 O2

RN 335064-34-1 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-35-2 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-34-1

CMF C21 H21 C1 F N5 O2

09/669298

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335065-05-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$H_2N$$
 N
 N
 CF_3

RN 335065-06-0 CAPLUS

CN Piperidine, 4-(1,5-dimethyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335065-07-1 CAPLUS

CN Piperidine, 1-[4-bromo-1-(2-bromo-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335065-08-2 CAPLUS

CN Piperidine, 4-(2-iodo-5-methyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

IT 335064-81-8P 335064-82-9P 335064-94-3P

335064-95-4P 335064-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

ANTINANT 10-12-10 MCCCC 1440

CN Pyrimidine, 5-iodo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-82-9 CAPLUS

CN Pyrimidine, 5-bromo-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-94-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-[2-[(4-methoxyphenyl)azo]-5-methyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 335064-95-4 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-bromo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-96-5 CAPLUS

CN 2-Pyrimidineacetamide, 5-bromo-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1Himidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

ANSWER 9 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2001:709746 CAPLUS

DOCUMENT NUMBER:

135:257261

TITLE:

SOURCE:

Preparation of 2-(piperidin-1-yl)pyrimidones for

preventive and/or therapeutic treatment of a

neurodegenerative disease caused by abnormal activity

of GSK3.beta.

INVENTOR(S):

Almario-Garcia, Antonio; Frost, Jonathan Reid; Li-Tak,

Adrien

PATENT ASSIGNEE(S):

Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo

Pharmaceuticals, Inc. Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO. KI				ND DATE				APPLICATION NO.					DATE				
E	 Р 113	1136489			A1 20010926				EP 2000-40080				- - 2	20000323			
	R:	AT,						FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
W					T, LV, FI, RO A1 20010927				WO 2001-EP3639 20010322								
	w:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
														GD,			
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
		VN,	YU,	ZA,	ZW,	ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			
	RW	: GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG		
PRIORI	PRIORITY APPLN. INFO.:				J				EP 2000-400801			Α	20000	0323			
				J				EP 2	EP 2000-400802			Α	20000323				
									EP 2	000-	4008	03	A	20000	0323		
OTHER :	OTHER SOURCE(S):				MARPAT 135:257261												

The title compds. [I; R1 = (un)substituted aryl, heterocyclic ring having 1-4 hetero atoms selected from O, S, and N atoms, (un)substituted alkyl; R2 = pyridyl optionally substituted by alkyl, alkoxy or halo] and their salts, useful for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta., such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathy, were prepd. and formulated. E.g., a 3-step synthesis of I [R1 = Ph; R2 = 4-pyridyl] was given. All exemplified compds. I showed IC50's of 0.5-10 .mu.M against GSK3.beta..

IT 362467-49-0P 362467-50-3P 362467-53-6P 362467-54-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(piperidin-1-yl))pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta.)

RN 362467-49-0 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 362467-50-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 362467-49-0 CMF C17 H18 N6 O

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 362467-53-6 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-indol-3-yl)-1-piperidinyl]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

RN 362467-54-7 CAPLUS

CN 4(1H)-Pyrimidinone, 2-[4-(1H-indol-5-yl)-1-piperidinyl]-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

H29 ANSWER 10 OF 36 CAPLUS COPYRIGHT 2002 ACS

2

Preparation of benzazole derivatives as JNK modulators

INVENTOR(S): Halazy, Serge; Church, Dennis; Camps, Montserrat; Gaillard, Pascale; Gotteland, Jean-Pierre

PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.

Antilles

Searched by Barb O'Bryen, STIC 308-4291

Liu

SOURCE:

Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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DATE
                                         APPLICATION NO. DATE
    PATENT NO.
                    KIND
                                        -----
    ______
                          _____
                          20010627 EP 1999-811207 19991224
    EP 1110957
                    A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
    WO 2001047920
                          20010705
                                         WO 2000-EP13006 20001220
                    A1
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                     A1
                         20020918
                                       EP 2000-991229 20001220
    EP 1240164
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                      EP 1999-811207
                                                      A 19991224
PRIORITY APPLN. INFO.:
                                      WO 2000-EP13006 W 20001220
OTHER SOURCE(S):
                       MARPAT 135:61322
GI
```

$$R^2$$
 X
 CN
 I

The title compds. [I; X = 0, S, NRO; G = (un) substituted aryl, heteroaryl, AB 3-8-membered (un)satd. ring system contg. at least one heteroatom selected from N, O or S (said 3-8-membered ring system may be fused with (un) substituted aryl or heteroaryl system thus providing a bicyclic system); R1 = H, alkoxy, thioalkoxy, etc.; R2 = H, alkyl, alkenyl, etc.] which are efficient modulators of the JNK pathway, in particular efficient and selective inhibitors of JNK2 and/or 3, were prepd. and formulated. E.g., a 2-step synthesis of I [X = S; R1, R2 = H; G = 2-[2-(1H-imidazol-4yl)ethylamino]-4-pyrimidinyl] which showed IC50 of 70 nM and of 210 nM against JNK3 and JNK2, resp., was given.

345987-09-9P 345987-10-2P TT

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzazole derivs. as JNK modulators)

345987-09-9 CAPLUS RN

CN 2-Benzothiazoleacetonitrile, .alpha.-[2-[4-(1H-benzotriazol-1-yl)-1piperidinyl]-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

09/669298

RN 345987-10-2 CAPLUS

CN 2-Benzothiazoleacetonitrile, .alpha.-[2-[4-(1H-benzotriazol-1-yl)-1piperidinyl]-4-pyrimidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM1

CRN 345987-09-9 C24 H20 N8 S CMF

CM2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: .

2000:534991 CAPLUS

DOCUMENT NUMBER:

133:135229

TITLE:

Preparation of cyclic amino-substituted N-aryl or

N-heteroaryl cyclic amines as antidepressants Poss, Michael A.; Tortolani, David R.; Mattson, Ronald

J.; Yevich, Joseph P.

Bristol-Myers Squibb Company, USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 48 pp.

DOCUMENT TYPE:

PATENT INFORMATION

CODEN: PIXXD2

LANGUAGE:

INVENTOR(S):

Patent English

FAMILY ACC. NUM. COUNT:

15/10/	Netri	NU.		IXII.	שיא	DATE			A	PPLI	CATI	ON N	0.	DATE			
WO	2000	0443	76	A	1 :	2000	0803		M	0.19	99-U	S305	01	1999	1221		
	W:	ΑE,	AL,	AM,	AT,	ΑU,	ΑŻ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,

Liu

IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 19991221 US 6225324 В1 20010501 US 1999-467957 BR 9916618 Α 20011023 BR 1999-16618 19991221 EP 1146871 Α1 20011024 EP 1999-968927 19991221 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO US 1999-117651P P PRIORITY APPLN. INFO.: 19990128 WO 1999-US30501 W 19991221 MARPAT 133:135229

OTHER SOURCE(S):

AB The title compds. [I; Z = (un) substituted Ph, benzodioxolone, pyridine, etc.; m, n = 1-3; Y = (un) substituted CH2Ph, indol-3-yl], useful antidepressant agents demonstrating potent inhibition of 5-HT reuptake, were prepd. Thus, reacting 1-(benzodioxol-5-yl)-4-piperidone (prepn. given) with 4-(2-bromo-5-fluorobenzyl)piperidine and NaBH(OAc)3 in THF and AcOH over 4.ANG. sieves afforded 37% II. Compds. I are effective at 5-20 mg/kg/day, when administered orally.

II

ΙT 286469-42-9P 286469-45-2P 286469-56-5P 286469-57-6P 286469-58-7P 286469-59-8P 286469-65-6P 286469-66-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclic amino-substituted N-aryl or N-heteroaryl cyclic amines as antidepressants)

RN 286469-42-9 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-chloro-4-pyrimidinyl)[1,4'-bipiperidin]-4-y1] - (9CI) (CA INDEX NAME)

RN 286469-45-2 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-methoxy-4-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

RN 286469-56-5 CAPLUS

CN 1H-Indole, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]-5-fluoro-(9CI) (CA INDEX NAME)

RN 286469-57-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

RN 286469-58-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloro-3-pyridazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

Liu

RN 286469-59-8 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-methoxypyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

RN 286469-65-6 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-(1'-pyrazinyl[1,4'-bipiperidin]-4-yl)- (9CI) (CA INDEX NAME)

RN 286469-66-7 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 12 OF 36 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2000:457032 CAPLUS

3

DOCUMENT NUMBER:

133:89434

TITLE:

Preparation of 3,3-diarylpiperidine and

2,2-biarylmorpholine derivatives as .delta. opioid

ligands.

INVENTOR(S):

Liras, Spiros; Allen, Martin Patrick; Segelstein,

Barbara Eileen

PATENT ASSIGNEE(S):

Pfizer Products Inc., USA

PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2000039091 A1 20000706 WO 1999-IB1914 19991201

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,

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IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
             RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
       EP 1140835
                                           20011010
                                                                   EP 1999-956268
                                                                                               19991201
                                    Α1
                    AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                    IE, SI, LT, LV, FI, RO
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                                   Α
                                            20011113
                                                                    BR 1999-16680
                                                                                               19991201
       NO 2001003237
                                    Α
                                            20010828
                                                                    NO 2001-3237
                                                                                               20010628
PRIORITY APPLN. INFO.:
                                                               US 1998-114091P
                                                                                        Ρ
                                                                                               19981229
                                                                                        W
                                                               WO 1999-IB1914
                                                                                               19991201
OTHER SOURCE(S):
                                       MARPAT 133:89434
```

$$R^2$$
 X
 Q
 NR^1

Ι

Title compds. [I; R1 = H, alkoxyalkyl, (substituted) aryl, aralkyl, heteroaryl, heteroaryl, heteroarylalkyl, etc.; R2 = H, aryl, heteroaryl, heterocyclyl, etc.; R3 = OH, NHSO2R7, O2CR7, CONHR7, etc.; R7 = H, alkyl, alkoxy, alkoxyalkyl; Q = O, CH2; X = CH, N; Z1, Z2 = H, halo, alkyl; with a proviso], were prepd. for treatment of neurol. and gastrointestinal disorders (no data). Thus, 3-bromoanisole was stirred with Mg in THF at 50.degree.; N-benzyl-3-piperidinone in THF was added followed by stirring for 10 h to give 1-benzyl-3-(3-methoxyphenyl)piperidin-3-ol. The latter in C1CH2CH2Cl was treated with PhOH and then with AlCl3 followed by reflux to give 4-[1-benzyl-3-(3-methoxyphenyl)piperidin-3-yl]phenol. This was converted to the triflate, which in MeOH/Me2SO was shaken with Pd(OAc)2 and 1,3-bis(diphenylphosphino)propane under CO at 70.degree. for 4 h to give Me 4-[1-benzyl-3-(3-methoxyphenyl)piperidin-3-yl]benzoate. This was converted to N,N-diethyl-4-[3-(3-methoxyphenyl)piperidin-3-yl]benzoate.

280564-63-8P 280564-64-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 3,3-diarylpiperidine and 2,2-biarylmorpholine derivs. as .delta. opioid ligands)

280564-63-8 CAPLUS

IT

RN

CN

3-Pyridinecarboxamide, N,N-diethyl-6-[1-(5-fluoro-2-pyrimidinyl)-3-(3-hydroxyphenyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

RN 280564-64-9 CAPLUS

3-Pyridinecarboxamide, N,N-diethyl-6-[3-(3-hydroxyphenyl)-1-(2-CN pyrimidinyl)-3-piperidinyl]- (9CI) (CA INDEX NAME)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 13 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:277982 CAPLUS

DOCUMENT NUMBER:

132:308351

TITLE:

Preparation of 5,7-disubstituted-4-aminopyrido[2,3-

d]pyrimidines as adenosine kinase inhibitors

INVENTOR(S):

Bhagwat, Shripad S.; Lee, Chih-hung; Cowart, Marlon D.; Mckie, Jeffrey A.; Grillot, Anne Laure; Stewart,

Andrew O.; Zheng, Guo Zhu; Perner, Richard J.

PATENT ASSIGNEE(S):

Abbott Laboratories, USA

SOURCE:

PCT Int. Appl., 411 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. DATE KIND APPLICATION NO. DATE WO 2000023444 A1 20000427 WO 1999-US24901 19991021

W: CA, JP, MX

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

PRIORITY APPLN. INFO.:

US 1998-176521

19981021

OTHER SOURCE(S):

MARPAT 132:308351

GΙ

AB The title compds. [I; R1, R2 = H, alkenyl, alkoxyalkyl, alkoxycarbonyl, etc.; NR1R2 = 5-7 membered ring contg. 1-2 addnl. heteroatoms selected from O, N and S; R3 = alkenyl, alkyl, alkynyl, etc.; R4 = alkenyl, alkoxyalkynyl, alkyl, etc.] which inhibit adenosine kinase and therefore are useful in treating cerebral ischemia, epilepsy, nociperception, inflammation and sepsis, were prepd. E.g., a 2-step synthesis of II was presented. Biol. data for compds. I were given.

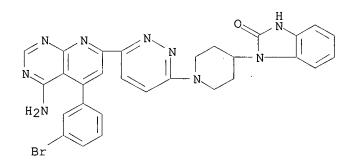
ΙT 265105-98-4P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5,7-disubstituted-4-aminopyrido[2,3-d]pyrimidines as adenosine kinase inhibitors)

RN 265105-98-4 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[1-[6-[4-amino-5-(3-bromophenyl)]]d]pyrimidin-7-yl]-3-pyridazinyl]-4-piperidinyl]-1,3-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

2000:631890 CAPLUS

DOCUMENT NUMBER:

133:222737

Luras, Spiros; McHardy, Stanton Furst

PATENT ASSIGNEE(S): SOURCE:

Pfizer Products Inc., USA Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ____ ----------JP 2000247969 A2 20000912 JP 2000-44911 20000222 A1EP 1038872 20000927 EP 2000-300974 20000208 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO US 6444679 В1 20020903 US 2000-503679 20000214 BR 2000000901 20010821 BR 2000-901 20000222 PRIORITY APPLN. INFO.: US 1999-121156P P 19990222 OTHER SOURCE(S): MARPAT 133:222737 GI

Ι

The title compds. [I; X, Y = O, N, S, CH; provided that the ring contg. XAB and Y is arom. and both X and Y are not simultaneously O or S; n = 0,1; R1 = H, CO-8 alkoxy-CO-8 alkyl (a total C atoms being .ltoreq.8), aryl, aryl-C1-8 alkyl, heteroaryl, heteroaryl-C1-8 alkyl, heterocyclyl, heterocyclyl-C1-8 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-8 alkyl, etc.; R2 = H, aryl, halo, heteroaryl, heterocyclyl, SO2R4, COR4, CONR5R6, CO2R4, C(OH)R5R6, etc.; wherein R4, R5, or R6 is selected from group defined in R1 or R5 and R6 together with bonded N or C atom form 3 to 7-membered ring contg. 0-3 heteroatoms selected from O, N, and S; R3 = HO, hydroxy-C1-6 alkyl, C1-6 alkyl-C1-6 alkoxy, NHSO2R7, C(OH)R7R8, halo, heteroaryl, CONHR7; R7, R8 = H, C1-4 alkyl, C1-4 alkoxy, or C1-4 alkoxy-C1-4 alkyl, wherein each alkyl is optionally substituted with 1-7 F atom(s); Z1 = H, halo, C1-5 alkyl; provided that two-adjacent ring oxygen or nitrogen atoms or ring O atom adjacent to ring S atom do not exist in heterocyclic or heteroaryl portion] are prepd. These compds. regulate bindings to opioid receptors and are useful for the improvement, prevention, or treatment of various disorders or conditions, e.g. (1) inflammatory diseases such as arthritis, psoriasis, and asthma, (2) disorders of respiratory function such as asthma, coughing, and apnea (breathlessness), (3) allergy, (4) gastrointestinal disorders such as gastritis, functional intestinal disorders, irritable bowel syndromes, functional diarrhea, functional dilation, functional pain, indigestion not forming peptic ulcer, gastrointestinal motility disorders, and vomiting, (5) stroke, (6) shock, (7) brain edema, (8) brain injury, (9) spinal cord injury, (10) brain ischemia, (11) brain failure suffered after heart bypass or transplant surgery, (12) urinary or reproductive tract disorders including incontinence, (13) chem. dependence or addiction, (14) chronic pain, (15) acute or neurol. pain, (16) systemic lupus erythematosus, (17) Hodgkin's disease, (18) Sjoegren disease, (19) epilepsy, and (20) rejection of organ transplant or skin grafting (no data). Thus, oxidn. of N, N-diethyl-2-[4-(3-hydroxymethylphenyl)-1-(2-methylpentyl)piperidin-4yl]pyrimidine-5-carboxamide by tetrapropylammonium perruthenate and N-methylmorpholine N-oxide in CH2Cl2 in the presence of 4.ANG. mol. sieve

gave an aldehyde which underwent addn. reaction with methylmagnesium bromide in THF at -70.degree. to give N,N-diethyl-2-[4-[3-(1-hydroxyethyl)phenyl]-1-(2-methylpentyl)piperidin-4-yl]pyrimidine-5-carboxamide.

IT 291753-96-3P 291753-97-4P 291753-99-6P 291754-01-3P 291754-03-5P 291754-38-6P 291754-39-7P 291754-40-0P 291754-41-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylheteroarylpiperidines as ligands for opioid receptors and drugs)

RN 291753-96-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291753-97-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291753-99-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-01-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-03-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[1-(3,6-dimethylpyrazinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 291754-38-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-methoxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-39-7 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-40-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-41-1 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-pyrazinyl-4-

Page 119

L29 ANSWER 15 OF 36 CAPLUS COPYRIGHT 2002 ACS

2000:137240 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 132:175857

TITLE: 4-Tetrahydropyridylpyrimidine derivatives as CRF

receptor antagonists

INVENTOR(S): Nakazato, Atsuo; Kumagaya, Toshihito; Okuyama,

Shigeru; Taki, Shigeyuki; Tomisawa, Kazuyuki

Taisho Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: Jpn. Kokai Tokkyo Koho, 20 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A2 20000229 JP 1998-228329 JP 2000063277 19980812 MARPAT 132:175857

OTHER SOURCE(S):

GI

 R^1 R2

4-Tetrahydropyridylpyrimidine derivs. (I; Ar = halogen, C1-5 alkyl, AB alkoxy, etc.; R1 = H, C1-5 alkyl, amino, etc.; R2 = C1-5 alkyl, C4-7 cycloalkylalkyl, etc.; X1, X2, X3 = H, halogen, C1-5 alkyl, alkoxy, or alkylthio, amino, etc.) and their pharmaceutically acceptable salts are claimed as CRF receptor antagonists for treatment of related diseases. Several I were prepd. The effect on the CRF receptor binding and anxiolytic effect of I were tested. Formulation examples of I tablets, powders, and injections were given.

ΙT 213923-79-6P 213923-80-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(4-tetrahydropyridylpyrimidine derivs. as CRF receptor antagonists for treatment of related diseases)

RN 213923-79-6 CAPLUS CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 213923-80-9 CAPLUS

CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)

L29 ANSWER 16 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:395946

DOCUMENT NUMBER: 133:144485

TITLE: Design, synthesis and structure-affinity relationships

CAPLUS

of 4-methylidenepiperidine and 4-aryl-1,2,3,6tetrahydropyridine derivatives as corticotropin-

releasing factor1 receptor antagonists

AUTHOR(S): Nakazato, A.; Kumagai, T.; Okubo, T.; Tanaka, H.;

Chaki, S.; Okuyama, S.; Tomisawa, K.

CORPORATE SOURCE: Medicinal Research Laboratories, 1st Laboratory,

Taisho Pharmaceutical Co., Ltd., Saitama, 330-8530,

Japan

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(5),

1183-1193

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

receptor antagonists have been reported. Structure-affinity relationships (SARs) of non-peptide CRF1 antagonists suggest that such antagonists can be constructed of three units: a hydrophobic unit (Up-Area), a proton accepting unit (Central-Area), and an arom. unit (Down-Area). Our

interest focused on the Up-Area in deriving novel methylidenepiperidine and 4-aryl-1,2,3,6-tetrahydropyridine derivs. as non-peptide CRF1 receptor antagonists which have high affinity and selectivity for CRF1 receptors with potent anxiolytic-like and antidepressant-like properties in some exptl. animal models. These findings suggest that the hydrophonic unit (Up-Area) may be useful for design of CRF1 antagonists.

IT 213923-79-6P 213923-80-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis and structure-affinity relationships of methylidenepiperidine and aryltetrahydropyridine derivs. as corticotropin-releasing factor1 receptor antagonists)

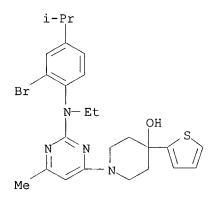
RN 213923-79-6 CAPLUS

CN

4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 213923-80-9 CAPLUS

CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

INVENTOR(S):

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LX9 ANSWER 17 OF 36 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1999:404954 CAPLUS

DOCUMENT NUMBER: 131:44821

TITLE: Preparation of 1-(1H-imidazol-2-yl)pyrrolidine and

1-(1H-imidazol-2-ylpiperidine derivatives and their

offinity with historia mais 112 recentors

affinity with histaminergic H3 receptors

Jegham, Samir; Saady, Mourad; Yaiche, Philippe;

Horter, Laurence

Page 122

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.			KIND DATE					APPLICATION NO.					DATE				
	WO	9931	 -		 A	1	1999	0624		W	0 19:	98-F	R267	- - 7	 1998	1210		
		W:	ΑL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,
			ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
			MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,
			TR,	TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,
			ТJ,	MT														
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
			FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GΑ,	GN,	G₩,	ML,	MR,	ΝE,	SN,	TD,	TG						
	FR	2772	377		A	1	1999	0618		F	R 19	97-1	5747		1997	1212		
	ΑU	9915	663		А	1	1999	0705		A	U 19	99-1.	5663		1998	1210		
PRIO	RIT:	APP:	LN.	INFO	.:					FR 1:	997-:	1574	7		1997	1212		
									Ţ	WO 1	998-	FR26	77		1998	1210		

OTHER SOURCE(S):

MARPAT 131:44821

GI

CN

$$\begin{array}{c|c}
R & N & NH \\
NH & NH & NH
\end{array}$$

AB The title compds. I [R = H, Ph group optionally substituted by a halo atom or a Me, methoxy, trifluoromethyl or nitro group; X = H, halo, Me, methoxy, trifluoromethyl, nitro; n = 1, 2; m = 0, 1], were prepd. E.g., I (R = Ph, X = H, n = 2, m = 0) was prepd. Affinity of I with histaminergic H3 receptors was measured.

Ι

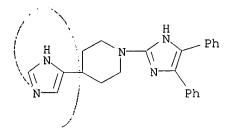
IT 227313-11-3P 227313-12-4P 227313-13-5P 227313-14-6P 227313-15-7P 227313-16-8P 227313-17-9P 227313-18-0P 227313-19-1P 227313-20-4P 227313-21-5P 227313-43-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of imidazolylpyrrolidines and imidazolylpiperidines and their affinity for histaminergic H3 receptors)

RN 227313-11-3 CAPLUS

Piperidine, 1-(4,5-diphenyl-1H-imidazol-2-yl)-4-(1H-imidazol-4-yl)-4



●2 HCl

RN 227313-12-4 CAPLUS

CN Piperidine, 1-[4,5-bis(4-methoxyphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

•2 HCl

RN 227313-13-5 CAPLUS

CN Piperidine, 1-[4,5-bis(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

RN 227313-14-6 CAPLUS

CN Piperidine, 1-[4,5-bis(4-methylphenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 227313-15-7 CAPLUS

CN Piperidine, 1-[4-(4-chlorophenyl)-5-phenyl-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 227313-16-8 CAPLUS CN Piperidine, 1-[4,5-h

Piperidine, 1-[4,5-bis[4-(trifluoromethyl)phenyl]-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

RN 227313-17-9 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-5-phenyl-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 227313-18-0 CAPLUS

CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

Liu

•2 HCl

RN 227313-19-1 CAPLUS

CN Piperidine, 1-[4-(4-chlorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} C1 & H & H \\ N & N & N \end{array}$$

●2 HCl

RN 227313-20-4 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-(4-phenyl-1H-imidazol-2-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 227313-21-5 CAPLUS

CN Piperidine, 4-(1H-imidazol-4-yl)-1-[4-(4-methoxyphenyl)-1H-imidazol-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 227313-43-1 CAPLUS

CN Piperidine, 1-[4-(4-fluorophenyl)-1H-imidazol-2-yl]-4-(1H-imidazol-4-yl)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 227313-42-0 CMF C17 H18 F N5

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

CO₂H

REFERENCE COUNT:

5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 18 OF 36 CAPLUS COPYRIGHT 2002 ACS 1998:672543 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

129:275927

TITLE:

Preparation of 4-tetrahydropyridylpyrimidine

derivatives as drugs

INVENTOR(S):

Nakazato, Atsuro; Kumagai, Toshihito; Okubo, Taketoshi; Aibe, Izumi; Tanaka, Hideo; Chaki, Shigeyuki; Okuyama, Shigeru; Tomisawa, Kazuyuki

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
	WO 9842699 W: AU, CA,		19981001	WO 1998-JP1330 19980325
	•	•	, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE AU 1998-65175 19980325
	AU 733604	B2	20010517	
		A1	20000202	JP 1998-76748 19980325 EP 1998-911002 19980325
	R: AT, BE, IE, FI	CH, DE	, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
DDTO	US 6187781	B1	20010213	US 1999-381462 19990921 JP 1997-72899 A 19970326
PRIO	RITY APPLN. INFO).:		JP 1997-72899 A 19970326 JP 1997-338439 A 19971209
				WO 1998-JP1330 W 19980325 WO 1999-JP1330 W 19980325

OTHER SOURCE(S):

MARPAT 129:275927

Ι

4-Tetrahydropyridylpyrimidine derivs. (I; Ar is Ph optionally substituted with one to three groups selected from among halo, C1-5 alkyl or alkoxy, CF3, thienyl or furanyl; R1 is H, C1-5 alkyl or amino optionally substituted with one or two C1-5 alkyl groups; R2 is C1-5 alkyl, C4-7 cycloalkylalkyl, C2-5 alkenyl or alkynyl; X1, X2 and X3 are each independently H, halo, C1-5 alkyl, alkoxy or alkylthio, amino optionally substituted with one or two C1-5 alkyl groups) are prepd. I are efficacious against diseases in which corticotropin releasing factor (CRF) is believed to be concerned, for example, melancholia, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, digestive diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, head injury, inflammation, immunol. diseases and so on. Thus, I.HCl (Ar = 4-Ph, R1 =

Me, R2 = Et, X1 = 2-Br, X2 = 4-i-Pr, X3 = H) was prepd. by multistep reactions from 2,4-dichloro-6-methylpyrimidine and showed IC50 of 66.08 nM CRF receptor binding activity when tested with rat.

IT 213923-79-6P 213923-80-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 4-tetrahydropyridylpyrimidine derivs. as drugs)

RN 213923-79-6 CAPLUS

CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)

213923-80-9 CAPLUS RN

CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)

L29 ANSWER 19 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1997:532189 CAPLUS

DOCUMENT NUMBER:

127:176434

TITLE:

Angiogenesis inhibiting pyridazinamines

INVENTOR(S):

Stokbboekx, Raymond Antoine; Van Der Aa, Marcel Jozef

Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel

Gerebernus Maria; Tuman, Robert W.

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Neth.; Stokbroekx, Raymond

Warria, Tumam, Robert W.

SOURCE:

PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PAT	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	٥.	DATE				
WO	9726	258		A:	1 .	1997	0724		W	0 19	97-E	P201		1997	0114			
	W:	AL,	AM,	ΑU,	BB,	BG,	BR,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,	IL,	IS,	JP,	
		KG,	KR,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MN,	MX,	NO,	ΝZ,	PL,	RO,	SG,	
		ŞΤ,	SK,	TR,	TT,	UA,	US,	UZ,	VN,	ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM
	RW:	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GΒ,	GR,	
		ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	
		MR,	NE,	SN,	TD,	ΤG												
CA	2237	273		\mathbf{A}	A	1997	0724		C.	A 19	97-2	2372	73	1997	0114			
ΑU	9714	439		\mathbf{A}	1	1997	0811		A	U 19	97-1	4439		1997	0114			
	7177																	
ZA	9700	288		Α		1998	0714		Z.	A 19	97-2	88		1997	0114			
EΡ	8763	66		A.	2	1998	1111		E	P 19	97-9	0105	9	1997	0114			
ΕP	8763	66		B	1	2001	0725											
	R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	PT,	ΙE,	
CN	1208	415		Α		1999	0217		C	N 19	97-1	9170	5	1997	0114			
JΡ	2000	5030	14	\mathbf{T}	2	2000	0314		J	P 19	97-5	2465	6	1997				
$_{ m IL}$	1244	61		A.	1	2000	0726		I	ւ 19	97-1	2446	1	1997	0114			
ΑT	2035	34		E		2001	0815		A	T 19	97-9	0105	9	1997	0114			
														1997				
														1998				
US	5985	878		А		1999	1116		U	S 19	98-1	1907	5	1998	0709			
RITY	APP	LN.	INFO	. :					EP 1	996-	-2000	85	Α	1996	0115			
								1	WO 1	997-	EP20	1	W	1997	0114			
R SC	TIRCE	191 .			MΣR	PAT	127.	1764	34									

OTHER SOURCE(S):

MARPAT 127:176434

GT

$$\begin{array}{c|c}
R^2 & R^3 \\
N - S & N = N
\end{array}$$

$$\begin{array}{c|c}
N - S & N = N
\end{array}$$

$$\begin{array}{c|c}
N - S & N = N
\end{array}$$

Title compds. I [R1 = H, alkyl, alkoxy, alkylthio, amino, aryl, cycloalkyl, CH2OH, CH2OCH2Ph; R2, R3 = H; R2R3 = CH:CHCH:CH; NR4R5 = heterocyclic] were prepd. Thus, 3-chloro-6-methylpyridazine was treated with SOC12 and HN:CHMeNH2.HCl to give the chloropyridazinylthiadiazole which was treated with 1-(3-trifluoromethylphenyl)piperazine to give I [R1 = Me, R2, R3 = H, NR4R5 = 4-(3-trifluoromethylphenyl)piperazino]. This compd. had an in vitro angiogenesis inhibiting IC50 of 0.3 nM.

IT 193956-30-8P 193956-31-9P 193956-99-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

RN 193956-30-8 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN193956-31-9 CAPLUS

1H-Indole, 3-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-CN piperidinyl] - (9CI) (CA INDEX NAME)

193956-99-9 CAPLUS RN

Quinazoline, 4-(1-methylethoxy)-2-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-CN. pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L29 ANSWER 20 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1997:405902 CAPLUS

DOCUMENT NUMBER:

127:13475

TITLE:

1-[Cycloalkypiperidin-4-yl]-2H-benzimidazolone

muscarinic agonists, preparation, compositions, and

use in treatment of ocular hypertension

INVENTOR(S):

Thompson, Wayne J.; Ransom, Richard W.; Mallorga, Pierre; Bell, Ian M.; Sugrue, Michael F.; Munson,

Peter M.

PATENT ASSIGNEE(S):

Merck and Co., Inc., USA; Thompson, Wayne J.; Ransom,

Richard W.; Mallorga, Pierre; Bell, Ian M.; Sugrue,

Michael F.; Munson, Peter M.

SOURCE:

PCT Int. Appl., 19 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PA	PERM-11	NO.		KT	ND-	DATE			A	PPLI	CATI	N NO	ο.	DATE			
WO	9716	186		 A	 1	1997	0509		W	0 19	 96-U	 S172	- - 13	- 1996	1028		
	W:	AL,	AM,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,
		IL,	IS,	JP,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LV,	MD,	MG,	MK,	MN,	MX,

1

NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,

MR, NE, SN, TD, TG

AU 9674783 A1 19970522 AU 1996-74783 19961028 PRIORITY APPLN. INFO.: US 1995-7099P P 19951031 GB 1996-3849 A 19960223

WO 1996-US17213 W 19961028

OTHER SOURCE(S): MARPAT 127:13475

AB 1-[Cycloalkypiperidin-4-yl]-2H-benzimidazolones are disclosed, as are compns. and method of use. The novel compds. are selective muscarinic agonists of the M2 subtype with low activity at the M3 subtype. The compds. are effective for the treatment of glaucoma, with fewer side effects than pilocarpine therapy. Prepn. of 1,3-dihydro-1-[1-(4-oxocyclohex-1-yl)piperidin-4-yl]-2H-benzimidazol-2-one is described.

IT 190664-54-1

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(cycloalkypiperidinyl benzimidazolone muscarinic agonists, prepn., compns., and use in treatment of ocular hypertension)

RN 190664-54-1 CAPLUS

CN 2H-Benzimidazol-2-one, 1-[1-(1,2-dihydro-2-oxo-5-pyrimidinyl)-4-piperidinyl]-1,3-dihydro-(9CI) (CA INDEX NAME)

L29 ANSWER 21 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:407459 CAPLUS

DOCUMENT NUMBER: 125:96333

TITLE: Assay and purity control of new serotonergic

anxiolytics by HPTLC and scanning densitometry Farina, Anna; Doldo, Antonio; Cotichini, Viviana;

Rajevic, Maya

CORPORATE SOURCE: Lab. Chimica Farmaco, Ist. Sup. Sanita, Rome, 00161,

Italy

SOURCE: Journal of Planar Chromatography--Modern TLC (1996),

9(3), 185-188

CODEN: JPCTE5; ISSN: 0933-4173

PUBLISHER: Research Institute for Medicinal Plants

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A high-performance TLC (HPTLC) method with densitometric UV detection was used for the detn. and purity control of serotonergic anxiolytics. With silica gel as adsorbent and 3 different mobile phases, all the potential impurities were well sepd. from the main components and from each other. Detection limits of a few nanograms were obtained at a signal-to-noise ratio 3:1. The relative std. deviation values for the main components and related impurities were between 2.2 and 3.4%. The results obtained were compared with those obtained by a previously established HPLC method.

IT 178948-99-7

AUTHOR(S):

RL: ANT (Analyte); ANST (Analytical study)

(purity control of serotonergic anxiolytics by HPTLC and densitometry)

CN Pyrimidine, 2,2'-(1,4-piperidinediyl)bis- (9CI) (CA INDEX NAME)

L29 ANSWER 22 OF 36 CAPLUS COPYRIGHT 2002 ACS

1994:435609 ACCESSION NUMBER: CAPLUS

DOCUMENT NUMBER: 121:35609

TITLE: Preparation of 2-[4-(4-imidazolyl)piperidino]benzimida

zoles as serotoninergic receptor antagonists

Jegham, Samir; Defosse, Gerard; Purcell, Thomas INVENTOR(S):

PATENT ASSIGNEE(S): Synthelabo S. A., Fr.

SOURCE: Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
EP 591026	A1	19940406	EP 1993-402280 19930920	
R: AT, BE	, CH, DE	, DK, ES, E	R, GB, GR, IE, IT, LI, LU, MC, NL, PT,	SE
FR 2696176	A1		FR 1992-11550 19920928	
FR 2696176	B1	19941110		
CA 2107060	AA	19940329	CA 1993-2107060 19930927	
FI 9304220	А	19940329	FI 1993-4220 19930927	
NO 9303434	А	19940329	NO 1993-3434 19930927	
AU 9348605	A1	19940414	AU 1993-48605 19930927	
AU 659033	В2	19950504		
ZA 9307155	A	19940523	ZA 1993-7155 19930927	
CN 1087340	A	19940601	CN 1993-118081 19930927	
ни 65396	A2	19940628	HU 1993-2726 19930927	
JP 06192254	A2	19940712	JP 1993-239568 19930927	
US 5418241	А	19950523	US 1993-127058 19930927	
PL 172852	B1	19971231	PL 1993-300514 19930927	
PRIORITY APPLN. INF			FR 1992-11550 19920928	
OTHER SOURCE (S):	• • •	RPAT 121.35		

OTHER SOURCE(S): MARPAT 121:35609

GI

$$\begin{array}{c|c}
z \\
\hline
N \\
R2
\end{array}$$

$$\begin{array}{c|c}
R1 \\
N \\
N \\
H
\end{array}$$

Liu

AB Title compds. (I; R1,R2 = H, alkyl; Z,Z1 = H, C1, OH, NH2, alkyl, alkoxy, etc.) were prepd. Thus, 2-chloro-1-(1-methylethyl)-7-phenylmethoxy-1H-benzimidazole (prepn. given) was condensed with 4-(1H-imidazol-4-yl)piperidine to give title compd. II. I gave .gtoreq.50% inhibition of serotonin-induced bradycardia at 10.mu.g/kg i.v. in rats.

serotonin-induced bradycardia at 10.mu.c 155596-41-1P 155596-42-2P 155596-43-3P 155596-45-5P 155596-47-7P 155596-49-9P 155596-50-2P 155596-51-3P 155596-53-5P 155596-54-6P 155596-55-7P 155596-57-9P 155596-59-1P 155596-60-4P 155596-61-5P 155596-62-6P 155596-64-8P 155596-66-0P

155596-67-1P 155596-68-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotoninergic receptor antagonist)

RN 155596-41-1 CAPLUS

CN 1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-40-0 CMF C18 H22 C1 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-42-2 CAPLUS

CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-43-3 CAPLUS

CN 1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-45-5 CAPLUS

CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-44-4 CMF C19 H25 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-47-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-46-6 CMF C19 H25 N5

CM 2

CRN 110-17-8

Double bond geometry as shown.

RN 155596-49-9 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Liu

CM 1

CRN 155596-48-8 CMF C19 H25 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-50-2 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX:NAME)

RN 155596-51-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

ما السالد

RN 155596-53-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-52-4 CMF C26 H39 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-54-6 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 155596-55-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-

CM 1

CRN 155596-54-6 CMF C25 H29 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-57-9 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Liu

CM 1

CRN 155596-56-8 CMF C21 H27 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-59-1 CAPLUS

CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-58-0

CMF C19 H24 C1 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-60-4 CAPLUS

CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 155596-61-5 CAPLUS

CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 155596-62-6 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)

RN 155596-64-8 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7 CMF C25 H35 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-66-0 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-65-9 CMF C27 H31 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-67-1 CAPLUS

1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-CN 6-nitro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O_2N & H & Me \\ \hline \\ C1 & N & Me \end{array}$$

RN 155596-68-2 CAPLUS

1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

■2 HC1

L29 ANSWER 23 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1993:124534 CAPLUS

DOCUMENT NUMBER:

118:124534

TITLE:

Preparation of 2-(imidazolylpiperidino)benzimidazoles

and analogs as 5-HT receptor ligands

INVENTOR(S):

Jegham, Samir; Defosse, Gerard; Purcell, Thomas;

Schoemaker, Johannes

PATENT ASSIGNEE(S):

Synthelabo S. A., Fr. Eur. Pat. Appl., 17 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO. DATE	
EP 507650	A1	19921007	EP 1992-400780 199203	23
EP 507650	В1	19960522		

PR-	26/4655	-BAT	19940114			
ΑT	138375	E	19960615	ΑT	1992-400780	19920323
CA	2064924	AA	19921004	CA	1992-2064924	19920402
NO	9201281	A	19921005	NO	1992-1281	19920402
ΑU	9213989	A1	19921008	ΑU	1992-13989	19920402

19940217 AU 646332 R2 CN 1065459 19921021 CN 1992-102327 19920402 Α A2 19930507 JP 1992-80690 19920402 JP 05112563 B4 19950927 JP 07088378 A2 19930528 HU 1992-1116 19920402 HU 62573 US 5280030 Α 19940118 US 1992-862376 19920402 FR 1991-4009 19910403 PRIORITY APPLN. INFO.: MARPAT 118:124534 OTHER SOURCE(S): GT

$$\begin{array}{c|c} R & & \\ \hline & N & \\ \hline & I & \\ \end{array}$$

Title compds. [I; R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H, (cyclo)alkyl, Ph, pyridyl, etc.] were prepd. Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclocondensed with KSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (prepn. given) to give I (R = H, R1 = Me, X = NCHMe2). I gave .gtoreq. 50% inhibition of serotonin-induced bradycardia in rats at 10 .mu.g/kg i.v.

IT 146365-53-9P 146365-54-0P 146365-58-4P 146365-60-8P 146365-61-9P 146365-62-0P 146365-64-2P 146365-65-3P 146365-66-4P 146365-67-5P 146365-69-7P 146365-71-1P 146365-72-2P 146365-74-4P 146365-75-5P 146365-77-7P 146365-79-9P 146365-80-2P 146365-82-4P 146365-83-5P 146365-85-7P 146365-83-7P 146365-93-7P 146365-93-3P 146395-69-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as 5-HT receptor ligand)

RN 146365-53-9 CAPLUS

CN

1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-54-0 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

RN 146365-58-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-57-3 CMF C21 H21 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-60-8 CAPLUS

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 146365-59-5 CMF C23 H33 N5

$$(CH_2) 7 - Me \qquad H \\ N \qquad N \qquad N$$

CRN 110-17-8 CMF C4 H4 O4 Liu

Double bond geometry as shown.

RN 146365-61-9 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 146365-62-0 CAPLUS

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-64-2 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-63-1 CMF C18 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

ĻIJ

RN 146365-65-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 146365-66-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-67-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-69-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-68-6 CMF C18 H23 N5 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-71-1 CAPLUS

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-70-0 CMF C19 H23 N5

CM 2

CRN 110-17-8. CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-72-2 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146365-74-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-73-3 CMF C22 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-75-5 CAPLUS

CN [H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2 \\ \end{array}$$

RN 146365-77-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-76-6 CMF C19 H25 N5

CM 2

CMF C4 H4 O4

Double bond geometry as shown.

Liu

RN 146365-79-9 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-78-8 CMF C20 H27 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-80-2 CAPLUS

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-82-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-81-3 CMF C24 H35 N5

$$\begin{array}{c|c} \text{(CH2)} \text{ 7-Me} & \text{H} \\ \hline \text{N} & \text{N} \\ \hline \text{N} & \text{Me} \\ \end{array}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-83-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-85-7 CAPLUS

CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6 CMF C19 H25 N5 O

$$\begin{array}{c|c} \text{MeO-} \text{CH}_2 - \text{CH}_2 & \text{H} \\ \hline \\ N & N & N \\ \hline \\ N & Me \\ \end{array}$$

CRN 144-62-7 CMF C2 H2 O4

RN 146365-86-8 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 146365-88-0 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-87-9 CMF C17 H21 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-91-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-93-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-95-9 CAPLUS

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-94-8 CMF C19 H23 N5

$$\begin{array}{c|c} & & & H \\ & & N \\ & & N \\ & & N \\ \end{array}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

(19CI) (CA INDEX NAME)

RN 146365-97-1 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146365-98-2 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-99-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-cyclohexyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146395-69-9 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

L29 ANSWER 24 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1986:129918 CAPLUS

DOCUMENT NUMBER: 104:129918

TITLE: Anti-virally active pyridazinamines

INVENTOR(S): Stokbroekx, Raymond Antoine; Van der Aa, Marcel Jozef

Maria; Willems, Joannes Josephus Maria; Luyckx, Marcel

Gerebernus Maria

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: Eur. Pat. Appl., 76 pp.

CODEN: EPXXDW DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 156433	A2	19851002	EP 1985-200384	19850315
EP 156433	A3	19860723		
EP 156433	B1	19910227		
R: AT, BE,	CH, DE	, FR, GB, IT,	LI, LU, NL, SE	
US 5001125	A	19910319	US 1985-702772	19850215
AT 61050	E	19910315	AT 1985-200384	19850315
CZ 277730	В6	19930317	CZ 1985-1952	19850320
NO 8501167	Α	19850927	NO 1985-1167	19850322
NO 161257	В	19890417		
NO 161257	С	19890726		
ES 541521	A1	19860416	ES 1985-541521	
SU 1384198	A3	19880323	SU 1985-3867689	
DK 8501341	Α	19850927	DK 1985-1341	19850325
DK 166277	В	19930329		
DK 166277	С	19930830		
FI 8501177	A	19850927	FI 1985-1177	19850325
FI 85373	В	19911231		
FI 85373	С	19920410		
AU 8540348	A1	19851003	AU 1985-40348	19850325
AU 576563	B2	19880901		
JP 60226862	A2	19851112	JP 1985-58636	19850325
HU 37614	A2	19860123	HU 1985-1127	19850325
HU 198010	В	19890728	1005 0005	400=000=
ZA 8502235	A	19861126	ZA 1985-2235	19850325
IL 74707	A1	19880531	IL 1985-74707	19850325
CA 1238321	A1	19880621	CA 1985-477330	19850325
PL 147465 RO 91197	B1	19890630	PL 1985-252562	19850325
RO 91197 US 5157035	B3	19870630	RO 1985-118137	19850326

US 1984-593444 19840326 US 1985-702772 19850215 EP 1985-200384 19850315 US 1991-637091 19910103

GI For diagram(s), see printed CA Issue.

The title compds. I [R1 = H, halo, 1H-imidazol-1-yl, alkyloxy, aryloxy, AΒ aralkoxy, alkylthio, arylthio, HO, HS, amino, alkylsulfinyl, alkylsulfonyl, cyano, alkoxycarbonyl, alkanoyl, alkyl; R2, R3 = H, alkyl; R2R3 = CH:CHCH:CH; X = CH:NCH:CH2, optionally alkyl- or aryl-substituted CmH2mNR4CnH2n, CmH2mCR5R6CnH2n, Cm-1H2(m-1)CR7:CR8CnH2n; R4 = H, alkyl, aryl, thiazolyl, pyrimidinyl, quinolinyl, etc.; R5 = H, alkyl, aryl, HO, alkyloxy, etc.; R6 = H, alkyl, aryl, indolyl, pyridinyl, etc.; R7, R8 = H, alkyl, aryl, aralkyl, pyridinyl; aryl = (un)substituted Ph; m,n = 1-4; m+n = 3-5] were prepd. Thus, 3,6-dichloropyridazine was treated with 1,2,3,6-tetrahydro-4-(3-methylphenyl)pyridine to give pyridinylpyridazine II, which in the Rhinovirus Cytopathic Effect Test gave 0.006 .mu.g/mL as the lowest concn. necessary to inhibit .gtoreq.75% of the cytopathic effect of human rhinovirus. Oral drops were prepd. by dissolving 500 g I in 0.5 L MeCHOHCO2H and 1.5 L polypropylene glycol at 60-80.degree., cooling to 30-40.degree., adding 35 L polyethylene glycol, mixing well, adding 1750 g Na saccharin in 2.5 L purified H2O and 2.5 L cocoa flavor, and finally polyethylene glycol to 50 L to provide a soln. comprising 10 mq I/mL.

IT 100223-79-8P 100223-91-4P 100223-92-5P 100224-24-6P 100224-34-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as virucide)

RN 100223-79-8 CAPLUS

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 100223-91-4 CAPLUS

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 100223-92-5 CAPLUS

CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 100224-24-6 CAPLUS

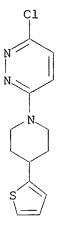
CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 100224-34-8 CAPLUS

CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX

ATA MADA

Page 155



L29 ANSWER 25 OF 36 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1979:132600 CAPLUS

DOCUMENT NUMBER:

90:132600

TITLE:

Synthesis and screening of some quinazolinone

derivatives

AUTHOR(S):

Abd El-Fattah, B.; El-Sayed, M.

CORPORATE SOURCE:

Fac. Pharm., Cairo Univ., Cairo, Egypt

SOURCE:

Bull. Fac. Pharm., Cairo Univ. (1978), Volume Date

1976, 15(2), 273-84

CODEN: BFPHA8; ISSN: 0575-1373

DOCUMENT TYPE:

LANGUAGE:

Journal English

GI

I, X=NR, R=aryl II, X=O

AB A series of quinazolinone derivs. (I) was synthesized by condensing II [69557-33-1] with (NH4)2CO3 and different primary amines. Preliminary screening study of the 20 compds. thus prepd. showed these compds. to be potent sedatives, weakly paralytic, and not having any hypnotic activity when compared to phenobarbital. These compds. were less toxic than phenobarbital and showed a high therapeutic index. Structure-activity relationships are discussed.

ΙT 69557-31-9P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and nervous system-depressant activity of)

RN 69557-31-9 CAPLUS

CN 2,6-Piperidinedione, 3-(2-methyl-4-oxo-3(4H)-quinazolinyl)-1-(2-thiazolyl)-(9CI) (CA INDEX NAME)

(9)

L29 ANSWER 26 OF 36 USPATFULL

ACCESSION NUMBER: 2002:224619 USPATFULL

TITLE: 4-phenyl-4-heteroarylpiperidine derivatives

Liras, Spiros, Stonington, CT, United States INVENTOR(S):

McHardy, Stanton F., Coventry, RI, United States

PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S.

corporation)

NUMBER KIND DATE ----- -----US 6444679 PATENT INFORMATION: B1 20020903 US 2000-503679 APPLICATION INFO.: 20000214

NUMBER DATE

Η.

PRIORITY INFORMATION: US 1999-121156P 19990222 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Shah, Mukund J. ASSISTANT EXAMINER: Truong, Tamthom N.

Richardson, Peter C., Ginsburg, Paul H., Jacobs, Seth LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS:

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1963

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ The present invention relates to compounds of the formula I, ##STR1##

wherein Z.sup.1, X, Y, ().sub.n, R.sub.1, R.sup.2 and R.sup.3 are defined as in the specification, pharmaceutical compositions containing such compounds; and the use of such compounds to treat neurological and gastrointestinal disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 291753-96-3P 291753-97-4P 291753-99-6P

291754-01-3P 291754-03-5P 291754-38-6P

291754-39-7P 291754-40-0P 291754-41-1P

(prepn. of phenylheteroarylpiperidines as ligands for opioid receptors and drugs)

RN 291753-96-3 USPATFULL

5-Pyrimidinecarboxamide, N,N-diethyl-2-[<u>1-(5-fluoro-2-pyrimidinyl)-4-(3-</u>

RN 291753-97-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N, N-diethyl-2-[4-(3-hydroxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291753-99-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-01-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-03-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[1-(3,6-dimethylpyrazinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 291754-38-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-methoxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-39-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N, N-diethyl-2-[4-(3-methoxyphenyl)-1-(2-

RN 291754-40-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N, N-diethyl-2-[4-(3-methoxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-41-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

L29 ANSWER 27 OF 36 USPATFULL

ACCESSION NUMBER:

2002:102507 USPATFULL

TITLE:

Anticoccidial compounds

INVENTOR(S):

Bitfu, Tesfaye, Westfield, NJ, United States

Feng, Danqing D., Branchburg Township, NJ, United

States

PATENT ASSIGNEE(S):

Merck & Co., Inc., Rahway, NJ, United States (U.S.

corporation)

NUMBER

KIND DATE

PATENT INFORMATION: APPLICATION INFO.:

US 6384052

В1 20020507

US 2000-709959

20001110

NUMBER

DATE

PRIORITY INFORMATION:

US 1999-165142P

19991112 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER: ASSISTANT EXAMINER: Rotman, Alan L. Covington, Raymond

LEGAL REPRESENTATIVE:

Yang, Mollie M., Rose, David L.

NUMBER OF CLAIMS:

15

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT:

364

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ

Trisubstituted pyrroles are useful in the control of coccidiosis in poultry.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

339988-61-3P, 2-(4-Fluorophenyl)-5-[N-(2-pyrimidinyl)piperidin-4-

yl]-3-(4-pyridinyl)pyrrole **339988-63-5P**, 2-(4-Fluorophenyl)-5-

[N-(2-thiazolyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole

(drug candidate; prepn. of diarylpiperidylpyrrole derivs. as

antiprotozoal agents)

RN 339988-61-3 USPATFULL

Pyrimidine, 2-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-CN

piperidinyl] - (9CI) (CA INDEX NAME)

339988-63-5 USPATFULL RN

Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(2-thiazolyl)-4-piperidinyl]-1H-piperidinyl]CN pyrrol-3-yl]- (9CI) (CA INDEX NAME)

L29 ANSWER 28 OF 36

USPATFULL

ACCESSION NUMBER:

2001:158303 USPATFULL

TITLE:

Diaryl piperidyl pyrrole derivatives as antiprotozoal

agents

INVENTOR(S): Biftu, Tesfaye, Westfield, NJ, United States

Feng, Danqing Dennis, Branchburg Township, NJ, United

States

Liang, Gui-Bai, Scotch Plains, NJ, United States Ponpipom, Mitree M., Branchburg, NJ, United States

Qian, Xiaoxia, New York, NY, United States Fisher, Michael H., Ringoes, NJ, United States

Wyvratt, Matthew J., Mountainside, NJ, United States Merck & Co., Inc., Rahway, NJ, United States (U.S.

PATENT ASSIGNEE(S): Merck & Co., corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6291480 B1 20010918
APPLICATION INFO.: US 2000-710147 20001110 (9)

NUMBER DATE

PRIORITY INFORMATION: US 1999-165142P 19991112 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Davis, Zinna Northington

LEGAL REPRESENTATIVE: Yang, Mollie M., Rose, David L.

NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 1116

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Trisubstituted pyrroles are antiprotozoal agents useful in the treatment and prevention of protozoal diseases in human and animals, including the control of coccidiosis in poultry.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

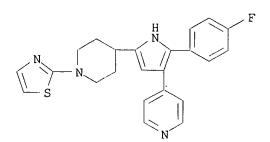
IT 339988-61-3P, 2-(4-Fluorophenyl)-5-[N-(2-pyrimidinyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole 339988-63-5P, 2-(4-Fluorophenyl)-5-[N-(2-thiazolyl)piperidin-4-yl]-3-(4-pyridinyl)pyrrole (drug candidate; prepn. of diarylpiperidylpyrrole derivs. as antiprotozoal agents)

RN 339988-61-3 USPATFULL

CN Pyrimidine, 2-[4-[5-(4-fluorophenyl)-4-(4-pyridinyl)-1H-pyrrol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 339988-63-5 USPATFULL

CN Pyridine, 4-[2-(4-fluorophenyl)-5-[1-(2-thiazolyl)-4-piperidinyl]-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



L29 ANSWER 29 OF 36 USPATFULL

ACCESSION NUMBER: 2001:63701 USPATFULL

TITLE: Antidepressant heterocyclic compounds

INVENTOR(S): Poss, Michael A., Lawrenceville, NJ, United States

Tortolani, David R., Skillman, NJ, United States Mattson, Ronald J., Southington, CT, United States Yevich, Joseph P., Southington, CT, United States

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United

States (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 1999-117651P 19990128 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Chang, Ceila
LEGAL REPRESENTATIVE: Ryan, Richard P.

NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1128

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds of formula I are useful antidepressant agents ##STR1##

demonstrating potent inhibition of 5-HT reuptake. Z is selected from among various phenyl and hetaryl moieties while Y is benzyl or indolyl.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 286469-42-9P 286469-45-2P 286469-56-5P

286469-57-6P 286469-58-7P 286469-59-8P

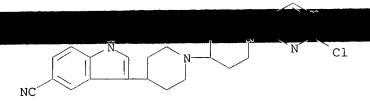
286469-65-6P 286469-66-7P

(prepn. of cyclic amino-substituted N-aryl or N-heteroaryl cyclic

amines as antidepressants)

RN 286469-42-9 USPATFULL

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-chloro-4-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)



RN 286469-45-2 USPATFULL

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-methoxy-4-pyrimidinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

RN 286469-56-5 USPATFULL

CN 1H-Indole, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]-5-fluoro-(9CI) (CA INDEX NAME)

RN 286469-57-6 USPATFULL

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloropyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

RN 286469-58-7 USPATFULL

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-chloro-3-pyridazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

RN 286469-59-8 USPATFULL

CN 1H-Indole-5-carbonitrile, 3-[1'-(6-methoxypyrazinyl)[1,4'-bipiperidin]-4-yl]- (9CI) (CA INDEX NAME)

RN 286469-65-6 USPATFULL

CN 1H-Indole-5-carbonitrile, 3-(1'-pyrazinyl[1,4'-bipiperidin]-4-yl)- (9CI) . (CA INDEX NAME)

RN 286469-66-7 USPATFULL

CN 1H-Indole-5-carbonitrile, 3-[1'-(2-pyrimidinyl)[1,4'-bipiperidin]-4-yl]-(9CI) (CA INDEX NAME)

L29 ANSWER 30 OF 36 USPATFULL

ACCESSION NUMBER: 2001:22227 USPATFULL

TITLE: 4-Tetrahydropyridylpyrimidine derivatives

INVENTOR(S): Nakazato, Atsuro, Tokyo, Japan Kumagai, Toshihito, Tokyo, Japan Okubo, Taketoshi, Tokyo, Japan

Aibe, Izumi, Tokyo, Japan Tanaka, Hideo, Tokyo, Japan Chaki, Shigeyuki, Tokyo, Japan Okuyama, Shigeru, Tokyo, Japan Tomisawa, Kazuyuki, Tokyo, Japan

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan (non-U.S.

corporation)

NUMBER KIND DATE PATENT INFORMATION: US 6187781 В1 20010213 WO 9842699 19981001 APPLICATION INFO.: US 1999-381462 19990921 (9) WO 1998-JP9901330 19980325

		NUMBER	DATE
PRIORITY	INFORMATION:	JP 1997-72899 JP 1997-338439	19970326 19971209

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Berch, Mark L.
ASSISTANT EXAMINER: McKenzie, Thomas
LEGAL REPRESENTATIVE: Lorusso & Loud

NUMBER OF CLAIMS: 6
EXEMPLARY CLAIM: 1
LINE COUNT: 960

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A 4-tetrahydropyridylpyrimidine compound represented by formula (I): ##STR1##

Liu

wherein Ar represents a phenyl group substituted with 1 to 3 substituents selected from a halogen atom, an alkyl group having $1\ \text{to}\ 5$ carbon atoms, an alkoxy group having 1 to 5 carbon atoms, and a trifluoromethyl group, a phenyl group, a thienyl group or a furanyl group; R.sup.1 represents a hydrogen atom, an alkyl group having 1 to 5 carbon atoms, an amino group or an amino group substituted with 1 or 2 alkyl groups having 1 to 5 carbon atoms; R.sup.2 represents an alkyl group having 1 to 5 carbon atoms, a cycloalkylalkyl group having 4 to 7 carbon atoms, an alkenyl group having 2 to 5 carbon atoms or an alkynyl group having 2 to 5 carbon atoms; and X.sup.1, X.sup.2, and X.sup.3, which may be the same or different, each represent a hydrogen atom, a halogen atom, an alkyl group having 1 to 5 carbon atoms, an alkoxy group having 1 to 5 carbon atoms, an alkylthio group having 1 to 5 carbon atoms, an amino group or an amino group substituted with 1 or 2 alkyl groups having 1 to 5 carbon atoms, or a pharmaceutically acceptable salt thereof. The 4-Tetrahydropyridylpyrimidine compound finds utility in the treatment of diseases in which CRF is implicated.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 213923-79-6P 213923-80-9P

(prepn. of 4-tetrahydropyridylpyrimidine derivs. as drugs)

RN 213923-79-6 USPATFULL

CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 213923-80-9 USPATFULL

CN 4-Piperidinol, 1-[2-[[2-bromo-4-(1-methylethyl)phenyl]ethylamino]-6-methyl-4-pyrimidinyl]-4-(2-thienyl)- (9CI) (CA INDEX NAME)

L29 ANSWER 31 OF 36 USPATFULL

ACCESSION NUMBER:

1999:146577 USPATFULL

TITLE:

Angiogenesis inhibiting pyridazinamines

INVENTOR(S):

Stokbroekx, Raymond Antoine, Beerse, Belgium Van der Aa, Marcel Jozef Maria, Turnhout, Belgium

Willems, Marc, Vosselaar, Belgium

Meerpoel, Lieven, Merksplas, Belgium

Luyckx, Marcel Gerebernus Maria, Geel, Belgium Tuman, Robert, Spring House, PA, United States

(9)

PATENT ASSIGNEE(S):

Janssen Pharmaceuticals, N.V., Beerse, Belgium (non-U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: APPLICATION INFO.: US 5985878 19991116

US 1998-119075 19980709

> NUMBER DATE

PRIORITY INFORMATION:

EP 1996-200085 19960115

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Ambrose, Michael G.

LEGAL REPRESENTATIVE:

Coletti, Ellen Ciambrone

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

10 1

LINE COUNT:

1252

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention concerns compounds of formula the N-oxide forms, the pharmaceutically acceptable acid addition salts and stereochemically isomeric forms thereof, wherein X is CH or N; m is 2 or 3 and n is 1, 2 or 3; wherein 1 or 2 C-atoms of the CH.sub.2 groups of the ##STR1## moiety which may also contain one double bond, may be substituted with C.sub.1-6 alkyl, amino, aminocarbonyl, mono- or di(C.sub.1-6 alkyl) amino, C.sub.1-6 alkyloxycarbony, C.sub.1-6 alkylcarbonylamino, hydroxy or C.sub.1-6 alkyloxy; and/or 2 C-atoms of said CH.sub.2 groups may be bridged with C.sub.2-4 alkanediyl; R.sup.1 is hydrogen, C.sub.1-6 alkyl, C.sub.1-6 alkyloxy, C.sub.1-6 alkylthio, amino, mono- or di(C.sub.1-6 alkyl)amino, Ar, ArNH--, C.sub.3-6 cycloalkyl

-CH.abd.CH--CH.abd.CH--; in case X represents CH then L is a radical L.sup.1, L.sup.2 or L.sup.3; or in case X represents N then L is a radical L.sup.2 or L.sup.3; L.sup.1 is Ar-C.sub.1-6 alkyloxy, Ar-oxy, Ar-thio, Ar-carbonylamino, di-Ar-methyloxy-, N-Ar-piperazinyl, N-Ar-homopiperazinyl, 2-benzimidazolinonyl, Ar--NR.sup.4 --,

Ar-Alk-NR.sup.4 --, Ar--NR.sup.4 -Alk-NR.sup.5 -- or Het-NR.sup.4 --; L.sup.2 is Ar, Ar-carbonyl, Ar--CH.dbd.CH--CH.sub.2 --, naphtalenyl or Het; L.sup.3 is C.sub.1-6 alkyl substituted with one or two radicals selected from Ar, Ar-oxy, or Ar-thio, further optionally substituted with cyano or hydroxy; 2,2-dimethyl-1,2,3,4-tetrahydro-naphtalenyl; 2,2-dimethyl-1H-2,3-dihydroindenyl;Ar-piperidinyl or Ar--NR.sup.4 -Alk-; R.sup.4 and R.sup.5 are each independently selected from hydrogen or C.sub.1-6 alkyl; Alk is C.sub.1-6 alkanediyl; their preparation, compositions containing them and their use as a medicine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 193956-30-8P 193956-31-9P 193956-99-9P

(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

RN 193956-30-8 USPATFULL

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 193956-31-9 USPATFULL

CN 1H-Indole, 3-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} H & N & N & N \\ \hline N & N & N & Me \\ \hline S & N & N & Me \\ \end{array}$$

RN 193956-99-9 USPATFULL

CN Quinazoline, 4-(1-methylethoxy)-2-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L29 ANSWER 32 OF 36 USPATFULL

ACCESSION NUMBER: 95:45610 USPATFULL

TITLE: Piperidine derivatives, their preparation and their

application in therapeutics

INVENTOR(S): Jegham, Samir, Argenteuil, France Defosse, Gerard, Paris, France

Purcell, Thomas, Montfort L'Amaury, France

(8)

Synthelabo, Le Plessis Robinson, France (non-U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE _____

PATENT INFORMATION: US 5418241 19950523 APPLICATION INFO.: US 1993-127058 19930927

DATE NUMBER _____

PRIORITY INFORMATION: FR 1992-11550 19920928

DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Chang, Celia

Jacobson, Price, Holman & Stern LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 516

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides a compound which is a piperidine derivative of AB formula (I) ##STR1## in which R.sub.1 is hydrogen or straight or branched (C.sub.1 -C.sub.6) alkyl, R.sub.2 is hydrogen or straight or branched (C.sub.1 -C.sub.8) alkyl, Z and Z.sub.1 which may be the same or different, each is hydrogen, chlorine, hydroxyl, amino, nitro, hydroxymethyl, (C.sub.1 -C.sub.2) alkyl, (C.sub.1 -C.sub.8) alkoxy straight or branched (C.sub.1 -C.sub.5) alkoxycarbonyl or aryl (C.sub.1 -C.sub.2) alkoxy, Z is in position 4, 6 or 7 and Z and Z.sub.1 cannot both be hydrogen, or its addition salt with a pharmaceutically acceptable acid and its therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155596-41-1P 155596-42-2P 155596-43-3P

155596-45-5P 155596-47-7P 155596-49-9P

155596-50-2P 155596-51-3P 155596-53-5P

155596-54-6P 155596-55-7P 155596-57-9P

155596-59-1P 155596-60-4P 155596-61-5P

155596-62-6P 155596-64-8P 155596-66-0P

155596-67-1P 155596-68-2P

(prepn. of, as serotoninergic receptor antagonist)

RN 155596-41-1 USPATFULL

1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 155596-40-0 CMF C18 H22 C1 N5

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 155596-42-2 USPATFULL

CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-43-3 USPATFULL

CN 1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-45-5 USPATFULL

CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-44-4 CMF C19 H25 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 155596-47-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

(

CM 1

CRN 155596-46-6 CMF C19 H25 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-49-9 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-48-8 CMF C19 H25 N5

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

$$HO_2C$$
 E CO_2H

RN 155596-50-2 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-51-3 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-53-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-52-4 CMF C26 H39 N5 O

$$\begin{array}{c|c} \text{Me-(CH2)7-O} & i\text{-Pr} & H\\ \hline N & N & N \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

RN 155596-54-6 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 155596-55-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-54-6 CMF C25 H29 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

CN

RN 155596-57-9 USPATFULL

1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CL) (CA)

-CM-

CRN 155596-56-8 CMF C21 H27 N5 O2

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CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-59-1 USPATFULL

CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-58-0 CMF C19 H24 C1 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-60-4 USPATFULL

CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

محتران الله

RN 155596-61-5 USPATFULL

CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 155596-62-6 USPATFULL

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)

RN 155596-64-8 USPATFULL

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7 CMF C25 H35 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-66-0 USPATFULL

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-65-9 CMF C27 H31 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-67-1 USPATFULL

CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-nitro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 155596-68-2 USPATFULL

CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

C1
$$\frac{H}{N}$$
 $\frac{H}{N}$ $\frac{H}{N}$ $\frac{H}{N}$

2 HCl

L29 ANSWER 33 OF 36 USPATFULL

ACCESSION NUMBER:

94:20173 USPATFULL

TITLE:

Anti-virally active pyridazinamines

INVENTOR(S):

Stokbroekx, Raymond A., Beerse, Belgium

Van der Aa, Marcel J. M., Kasterlee, Belgium Willems, Joannes J. M., Oud-Turnhout, Belgium

Luyckx, Marcel G. M., Geel, Belgium

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Beerse, Belgium (non-U.S.

corporation)

•	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.: RELATED APPLN. INFO.:	Division of Ser. 1991, now patent	No. US ed, Pat.	1991-63709 No. US 51	91, filed on 3 Jan 157035 which is a
	1985, now patent	ed, Pat. part of	No. US 50 Ser. No. U	72, filed on 15 Feb 001125 which is a JS 1984-593444, filed
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	Granted			·
PRIMARY EXAMINER:	Daus, Donald G.			

LEGAL REPRESENTATIVE:

Metz, Charles J.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

LINE COUNT:

1818

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Anti-virally active pyridazinamines, compositions containing the same and methods of treating viral diseases in warm-blooded animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

100223-79-8P 100223-91-4P 100223-92-5P

100224-24-6P 100224-34-8P

(prepn. of, as virucide)

RN 100223-79-8 USPATFULL

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX

RN 100223-91-4 USPATFULL

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 100223-92-5 USPATFULL

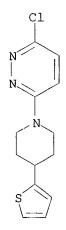
CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 100224-24-6 USPATFULL

CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 100224-34-8 USPATFULL

CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 34 OF 36 USPATFULL

ACCESSION NUMBER:

94:5884 USPATFULL

TITLE:

Piperidine derivatives, their preparation and their

therapeutic application

INVENTOR(S):

Jegham, Samir, Franconville, France

DeFosse, Gerard, Paris, France

Purcell, Thomas, Montfort-l'Amaury, France Schoemaker, Johannes, Gif-sur-Yvettte, France

PATENT ASSIGNEE(S):

Synthelabo, Le Plessis-Robinson, France (non-U.S.

corporation)

NUMBER KIND DATE
----US 5280030 19940118

PATENT INFORMATION: APPLICATION INFO.:

US 1992-862376 19920402 (7)

NUMBER DATE

FILE SEGMENT: Granted

PRIMARY EXAMINER: Ivy, C. Warren ASSISTANT EXAMINER: Chang, Celia

LEGAL REPRESENTATIVE: Wegner, Cantor, Mueller & Player

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NUMBER OF CLAIMS: 7 1 EXEMPLARY CLAIM:

600 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT. A compound which is a piperidine derivative of general formula (I) ##STR1## in which R.sub.1 represents a hydrogen atom, a linear or branched (C.sub.1-6) alkyl group or a cyclo(C.sub.3-8) alkyl group, X represents an oxygen atom, a sulphur atom or a group of general formula N--R.sub.3 in which R.sub.3 is a hydrogen atom, or a linear or branched (C.sub.1-8)alkyl, cyclo(C.sub.3-6)alkyl, cyclo(C.sub.3-6)alkylmethyl, (C.sub.1-4)alkoxy-(C.sub.1-4)alkyl, phenyl, pyridin-4-yl, pyridin-3-yl, pyridin-4-ylmethyl or pyridin-3-ylmethyl group and Z represents a hydrogen or fluorine atom and acid addition salts thereof with pharmaceutically acceptable acids, can be used for the treatment and prevention of disorders in which 5-HT receptors are involved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

146365-53-9P 146365-54-0P 146365-58-4P

146365-60-8P 146365-61-9P 146365-62-0P

146365-64-2P 146365-65-3P 146365-66-4P

146365-67-5P 146365-69-7P 146365-71-1P

146365-72-2P 146365-74-4P 146365-75-5P

146365-77-7P 146365-79-9P 146365-80-2P

146365-82-4P 146365-83-5P 146365-85-7P

146365-86-8P 146365-88-0P 146365-91-5P

146365-93-7P 146365-95-9P 146365-96-0P

146365-97-1P 146365-98-2P 146365-99-3P

146395-69-9P

(prepn. of, as 5-HT receptor ligand)

RN 146365-53-9 USPATFULL

1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-methylethyl)CN piperidinyl] - (9CI) (CA INDEX NAME)

RN 146365-54-0 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

RN 146365-58-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-57-3

C21 H21 N5

09/669298

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 146365-60-8 USPATFULL CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-59-5 CMF C23 H33 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

CN

RN 146365-61-9 USPATFULL

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-methyl- (9CI)

RN 146365-62-0 USPATFULL

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-64-2 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-63-1 CMF C18 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 146365-65-3 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 146365-66-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-67-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-69-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-68-6 CMF C18 H23 N5 O

CRN 144-62-7 CMF C2 H2 O4 но— с— с— он || ||

RN 146365-71-1 USPATFULL

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Liu

CM 1

CRN 146365-70-0 CMF C19 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

E CO2H

RN 146365-72-2 USPATFULL

CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146365-74-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-73-3 CMF C22 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 146365-75-5 USPATFULL

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2 \\ \end{array}$$

RN 146365-77-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM1

CRN 146365-76-6 CMF C19 H25 N5

$$\begin{array}{c|c} n-\Pr & H \\ \hline N & N \\ \hline N & Me \\ \end{array}$$

CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 146365-79-9 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-78-8 CMF C20 H27 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-80-2 USPATFULL

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-82-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-81-3 CMF C24 H35 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 146365-83-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-85-7 USPATFULL

CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6 CMF C19 H25 N5 O

$$\begin{array}{c|c} \text{MeO-CH}_2\text{-CH}_2 & \text{H} \\ \hline \\ N & N \\ \end{array}$$

CRN 144-62-7 CMF C2 H2 O4

RN 146365-86-8 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 146365-88-0 USPATFULL

CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-87-9 CMF C17 H21 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-91-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

09/669298

RN 146365-93-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-95-9 USPATFULL

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-94-8 CMF C19 H23 N5

$$\begin{array}{c|c} N & Me \end{array}$$

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

ын-веникининолионе, лесуспорторун-2-(4-(114-4midazol-4-yl)-1-piperidinyl)-(9CI) (CA INDEX NAME)

RN 146365-97-1 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146365-98-2 USPATFULL

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-99-3 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-cyclohexyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146395-69-9 USPATFULL

CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} i-Pr & H \\ N & N \\ \end{array}$$

L29 ANSWER 35 OF 36 USPATFULL

ACCESSION NUMBER: 92:86965 USPATFULL

TITLE: Anti-virally active pyridazinamines

INVENTOR(S): Stokbroekx, Raymond A., Beerse, Belgium

Van der Aa, Marcel J. M., Kasterlee, Belgium Willems, Joannes J. M., Oud-Turnhout, Belgium

Luyckx, Marcel G. M., Geel, Belgium

PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Beerse, Belgium (non-U.S.

corporation)

APPLICATION INFO.: US 1991-637091 19910103 (7)
RELATED APPLN. INFO.: Division of Ser. No. US 1985-702772, filed on 15 Feb

1985, now patented, Pat. No. US 5001125 which is a continuation-in-part of Ser. No. US 1984-593444, filed

on 26 Mar 1984, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Daus, Donald G. LEGAL REPRESENTATIVE: Metz, Charles J.

NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1
LINE COUNT: 1843

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Anti-virally active pyridazinamines, compositions containing the same and methods of treating viral diseases in warm-blooded animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 100223-79-8P 100223-91-4P 100223-92-5P

100224-24-6P 100224-34-8P

(prepn. of, as virucide)

RN 100223-79-8 USPATFULL

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 100223-91-4 USPATFULL

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

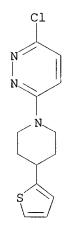
RN 100223-92-5 USPATFULL

CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 100224-24-6 USPATFULL

CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 100224-34-8 USPATFULL CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



L29 ANSWER 36 OF 36 USPATFULL

ACCESSION NUMBER: 91:22637 USPATFULL

TITLE: Anti-virally active pyridazinamines

INVENTOR(S): Stokbroekx, Raymond A., Beerse, Belgium

Van der Aa, Marcel J. M., Kasterlee, Belgium Willems, Joannes J. M., Turnhout, Belgium

Marcel, G. M. Luyekx, Geci, Belgium

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Beerse, Belgium (non-U.S.

corporation)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1984-593444, filed

on 26 Mar 1984, now abandoned

DOCUMENT TYPE: Utility

المانة الفراج المراضة المرافع الموادي المرافع المرافع

NUMBER OF CLAIMS: 28 EXEMPLARY CLAIM: 1,22 LINE COUNT: 1906

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Anti-virally active pyridazinamines, compositions containing the same and methods of treating viral diseases in warm-blooded animals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 100223-79-8P 100223-91-4P 100223-92-5P

100224-24-6P 100224-34-8P

(prepn. of, as virucide) 100223-79-8 USPATFULL

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-4-(2-thienyl)- (9CI) (CA INDEX NAME)

RN

RN 100223-91-4 USPATFULL

CN 4-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-methyl-4-(2-thienyl)-, cis-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 100223-92-5 USPATFULL

CN 1H-Indole, 3-[1-(6-chloro-3-pyridazinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 100224-24-6 USPATFULL

CN 3-Piperidinol, 1-(6-chloro-3-pyridazinyl)-3-(2-thienyl)- (9CI) (CA INDEX NAME)

RN 100224-34-8 USPATFULL

CN Pyridazine, 3-chloro-6-[4-(2-thienyl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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Searched by Barb O'Bryen, STIC 308-4291

substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L20		STR
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L23		STR
L25	368	SEA FILE=REGISTRY SUB=L15 SSS FUL (((L16 OR L17 OR L18 OR L19
		OR L20 OR L21)) AND L23)
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